Author Search

=> FILE HCAPLUS
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FILE COVERS 1907 - 22 May 2009 VOL 150 ISS 22 FILE LAST UPDATED: 21 May 2009 (20090521/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D STAT QUE L13

Structure attributes must be viewed using STN Express query preparation. L4 $\,$ 150 SEA FILE=REGISTRY SSS FUL L2

L7 15 SEA FILE-HCAPLUS SPE=ON ABB=ON PLU=ON L4

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L10	136	SEA	FILE=HCAPLUS	SPE=ON	ABB=ON	PLU=ON	MAGNUSSON A?/AU
L11	9	SEA	FILE=HCAPLUS	SPE=ON	ABB=ON	PLU=ON	SIGFRIDSSON C?/AU
L12	3	SEA	FILE=HCAPLUS	SPE=ON	ABB=ON	PLU=ON	THUNE M?/AU
L13	4	SEA	FILE=HCAPLUS	SPE=ON	ABB=ON	PLU=ON	(L8 OR L9 OR L10 OR
		L11	OR L12) AND 1	L7			

=> FILE WPIX

FILE 'WPIX' ENTERED AT 14:57:27 ON 22 MAY 2009 COPYRIGHT (C) 2009 THOMSON REUTERS

FILE LAST UPDATED: 17 MAY 2009 <20090517/UP>
MOST RECENT UPDATE: 200931 <200931/DW>

DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> Now containing more than 1.3 million chemical structures in DCR <<< $\,$

>>> IPC, ECLA and US National Classifications have been updated with reclassifications to March 15th, 2009.
F-Term and FI-Term original classifications are current and reclassification will commence in June.
No update date (UP) has been created for the reclassified documents, but they can be identified by specific update codes (see HELP CLA for details)

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

http://www.stn-international.com/stn_guide.html

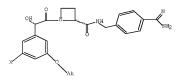
FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://scientific.thomsonreuters.com/support/patents/coverage/latestupdates/

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>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

'BI, ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> D STAT QUE L17 L2 STR



Structure attributes must be viewed using STN Express query preparation.

L8 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON ABRAHMSEN S?/AU

-> DUP REM L13 L17
FILE 'HCAPLUS' ENTERED AT 14:57:39 ON 22 MAY 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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PROCESSING COMPLETED FOR L13
PROCESSING COMPLETED FOR L17

L20 5 DUP REM L13 L17 (4 DUPLICATES REMOVED)
ANSWER '5' FROM FILE HCAPLUS
ANSWER '5' FROM FILE WPIX

=> D IBIB ED ABS HITSTR 1-4; D IBIB AB HITSTR 5

L20 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2003:972051 HCAPLUS Full-text

DOCUMENT NUMBER: 140:27752

TITLE: [Chloro(difluoromethoxy)phenyl]hydroxyacetylazetidinec arboxamide derivative salts preparation as prodrugs

INVENTOR(S): Ahlqvist, Matti; Bohlin, Martin; Inghardt,

Tord; Lundblad, Anita; Sigfridsson,

Carl-Gustaf

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 108 pp.

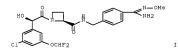
CODEN: PIXXD2 Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE:

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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     CN 1656067
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PRIORITY APPLN. INFO.:
                                            SE 2002-1661
                                                                A 20020531
                                            EP 2003-728206
                                                                A3 20030527
                                            NZ 2003-536738
                                                                A3 20030527
                                            WO 2003-SE859
                                                                W 20030527
                                            IN 2004-DN3465
                                                                A3 20041108
                                            US 2005-516422
                                                                A1 20050520
                         MARPAT 140:27752
OTHER SOURCE(S):
   Entered STN: 14 Dec 2003
ED
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AB There is provided pharmaceutically-acceptable acid addition salts of compds. of such as I. I was prepared along with two other similar compds. Salts of I prepared include the ethanesulfonate and benzenesulfonate. The salts are useful as prodrugs of competitive inhibitors of trypsin-like proteases, such as thrombin, and thus, in particular, in the treatment of conditions where inhibition of thrombin is required (e.g. thrombosis) or as anticoagulants.

IT 43397-93-0P 433938-09-1P 433938-32-0P

433938-43-3P 433939-99-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

([chloro(difluoromethoxy)phenyl]hydroxyacetylazetidinecarboxamide derivative salts preparation as prodrugs)

433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl|-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

DM

RN 433938-09-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (28)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-32-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433938-43-3 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy]phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

RN 433939-99-2 HCAPLUS

CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino]methyl]-3,5-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

~c1

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631916-71-7P 631916-73-9P 631916-75-1P
     631916-76-2P 631916-77-3P 631916-79-5P
     631916-81-9P 631916-83-1P 631916-91-1P
     631916-97-7P 631917-18-5P 631917-19-6P
     631917-20-9P 631917-21-0P 631917-22-1P
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     631917-30-1P 631917-45-8P 633315-91-0P
     633315-92-1P 633315-93-2P 633315-95-4P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        ([chloro(difluoromethoxy)phenyl]hydroxyacetylazetidinecarboxamide
       derivative salts preparation as prodrugs)
RN
    631916-71-7 HCAPLUS
CN
    Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
     (1S, 4R)-, compd. with (2S)-1-[(2R)-[3-chloro-5-
     (difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-
     [imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)
     (9CI) (CA INDEX NAME)
     CM
          1
     CRN 433937-93-0
     CMF C22 H23 C1 F2 N4 O5
```

Absolute stereochemistry.

CM 2 CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

RN 631916-73-9 HCAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with

(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (SCI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 100-88-9

CMF C6 H13 N O3 S

RN 631916-75-1 HCAPLUS

Phosphoric acid, dimethyl ester, compd. with

(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM

CN

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 813-78-5 CMF C2 H7 O4 P

RN 631916-76-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 631916-77-3 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-79-5 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1), monohydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-81-9 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

RN 631916-83-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[mino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S) - (CA INDEX NAME)

Absolute stereochemistry.

RN 631916-91-1 HCAPLUS

CN Ethanesulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)
(CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 594-45-6 CMF C2 H6 O3 S

RN 631916-97-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM I

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 98-11-3

CMF C6 H6 O3 S

RN 631917-18-5 HCAPLUS

CN 1-Propanesulfonic acid, (2S)-compd. with

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM

CRN 5284-66-2

CMF C3 H8 O3 S

631917-19-6 HCAPLUS RN

CN 1-Butanesulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 2386-47-2 CMF C4 H10 O3 S

631917-20-9 HCAPLUS

CN Ethanesulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-[2,6-[2]]]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-[2]]]-1-[(2R)-2-[3-[2]]]-1-[(2R)-2-[3-[2]]]-1-[(2R)-2-[3-[2]]]-1-[(2R)-2-[3-[2]]]-1-[(2R)-2-[3-[2]]]-1-[(2R)-2-[2]]

difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 594-45-6 CMF C2 H6 O3 S

RN 631917-21-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 631917-22-1 HCAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with

(25)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 100-88-9 CMF C6 H13 N O3 S

RN 631917-23-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 7664-93-9 CMF H2 O4 S

- RN 631917-24-3 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (2S)- (CA
 INDEX NAME)

Absolute stereochemistry.

HBr

RN 631917-25-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-,
4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 631917-27-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

RN 631917-28-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, nitrate (1:1) (CA

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7697-37-2 CMF H N O3

.__Î_..

RN 631917-30-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S)-(CA INDEX NAME)

● HCl

RN 631917-45-8 HCAPLUS

CN 1,5-Naphthalenedisulfonic acid, (2S)-compd. with 1-{(2R)-2-[3-chloro-5-(difluoromethoxyl)phenyl]-2-hydroxyacetyl]-N-[{2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 81-04-9

CMF C10 H8 O6 S2

RN 633315-91-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyllhydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2Z)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM :

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 633315-92-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl|hydroxyacetyl|-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, phosphate (3:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 633315-93-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl)phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide, sodium salt (1:1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM

CRN 128-44-9

CMF C7 H5 N O3 S . Na

■ Ma

RN 633315-95-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, mononaphthalenesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 25155-19-5

CMF C10 H8 O3 S

CCI IDS

D1-S03H

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2003:971865 HCAPLUS Full-text

DOCUMENT NUMBER: 140:31486

TITLE: Modified-release pharmaceutical formulation containing

cardiovascular agents

INVENTOR(S): Magnusson, Anders; Thune, Mikael
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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								WO 2003-SE858									
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
											NL,						
		BF,	ВJ,								. GW,						
		2485535						CA 2003-2485535									
AU							AU 2003-232870										
EP 1513495						EP 2003-728205					20030527						
	R:										IT,						PT,
											TR,						
	2003011460			A		2005	20050329 BR 2003-11460					20030527					
		1655761			A		20050817 CN 2003-812492					20030527					
CN	1004	0202	5		С		2008	0716									
JP	2005536472			T		20051202 JP 2004-508782					82	20030527					
NZ	536621			A		2006	20061027 NZ 2003-536621 20071221 NZ 2003-549176					20030527					
NZ	536621 549176 101264051			A	20071221			NZ 2003-549176 CN 2008-10099130					20030527				
CN	1012	6405	1		A		2008	0917									
RU 2352323			C2	C2 20090420			RU 2004-132856										
NO 2004004767			A 20050225 A 20090227			NO 2004-4767 IN 2004-DN3415											
IN 2004DN03415 ZA 2004009234 MX 2004011914			A					IN 2	2004-	DN34	15		2	0041	103		
ZA	2004	0092	34		A		2005			ZA 2	2004-1 2004-1	9234			- 2	0041	117
MX	2004	0119	14		A		2005										
US 20050171083					2005			US 2	2004-	5164	20		2	0041	129		
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IN 2006DN06241			A	20070831			IN 2006-DN6241 US 2007-716021 SE 2002-1659			20061025							
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									TIN 2	2004-	DN34	10		M3 2	0041	103	
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OTHER SOURCE(S): MARPAT 140:31486

ED Entered STN: 14 Dec 2003

GI

AB Disclosed is a modified-release pharmaceutical composition comprising, as active ingredient, a compound of formula I (RI = Cl-2 alkyl substituted by one or more fluoro substituents; R2 = H, OH, OMe, OEt; and n = 0-2) or a pharmaceutically acceptable salt thereof; and a pharmaceutically acceptable diluent or carrier. The formulation may only contain 1-carrageenan and a neutral gelling polymer when the compound of formula I is in the form of a salt; such formulations being of use for the treatment of a cardiovascullar disorder. A compound Ph(3-Cl)(5-OCHF2)-(R)-CH(OH)C(O)-(S)-Aze-Pab(OMe) esylate salt was prepared, its 50.5 mg was combined with hydroxypropyl Me cellulose 200, and sodium stearyl fummate 2.5 mg to obtain a modified-release tablets.

II 633916-71a-79 631916-72-8P 631916-73-9P

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631916-74-0P 631916-75-1P 631916-76-2P
631916-77-3P 631916-79-5P 631916-80-8P
631916-81-9P 631916-83-1P 631916-85-3P
631916-86-4P 631916-87-5P 631916-89-7P
631916-91-1P 631916-92-2P 631916-93-3P
631916-94-4P 631916-95-5P 631916-96-6P
631916-97-7P 631916-98-8P 631917-01-6P
631917-03-8P 631917-04-9P 631917-05-0P
631917-06-1P 631917-07-2P 631917-09-4P
631917-11-8P 631917-13-0P 631917-15-2P
631917-17-4P 631917-20-9P 631917-21-0P
631917-22-1P 631917-23-2P 631917-24-3P
631917-25-4P 631917-26-5P 631917-27-6P
631917-28-7P 631917-29-8P 631917-30-1P
631917-31-2P 631917-32-3P 631917-33-4P
631917-34-5P 631917-35-6P 631917-36-7P
631917-37-8P 631917-39-0P 631917-40-3P
631917-42-5P 631917-43-6P 631917-44-7P
631917-45-8P
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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (modified-release pharmaceutical formulation containing cardiovascular

agents) N 631916-71-7 HCAPLUS

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Bicyclo[2,2.1]heptane-l-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (15,4R)-, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)
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CM 1

CN

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).



RN 631916-72-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 631916-73-9 HCAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with

(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM I

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 100-88-9

CMF C6 H13 N O3 S

RN 631916-74-0 HCAPLUS

2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl)hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl)phenyl)methyl]-, (2S)-, phosphate (1:1) (salt)

(9CI) (CA INDEX NAME)

CM 1

CN

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 631916-75-1 HCAPLUS

CN Phosphoric acid, dimethyl ester, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 813-78-5 CMF C2 H7 O4 P

RN 631916-76-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 104-15-4 CMF C7 H8 O3 S

RN 631916-77-3 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1

CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-79-5 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacety]]-M-[[4-[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1),

monohydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-80-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 81-07-2 CMF C7 H5 N O3 S

RN 631916-81-9 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 631916-83-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 631916-85-3 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (2S)- (CA INDEX NAME)

RN 631916-86-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[mino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 631916-87-5 HCAPLUS

ON 1,2-Ethanedisulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM :

CRN 110-04-3 CMF C2 H6 O6 S2

H035-CH2-CH2-503H

RN 631916-89-7 HCAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (2S)-compd. with 1-{(2R)-2-|3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 5872-08-2

CMF C10 H16 O4 S

RN

CN Ethanesulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME) CM

CRN 433937-93-0 Absolute stereochemistry.

CMF C22 H23 C1 F2 N4 O5

631916-91-1 HCAPLUS

CM 2

CRN 594-45-6 CMF C2 H6 O3 S

RN 631916-92-2 HCAPLUS

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7697-37-2 CMF H N O3

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RN 631916-93-3 HCAPLUS

CN Benzenesulfonic acid, 2,5-dimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 609-54-1 CMF C8 H10 O3 S

RN 631916-94-4 HCAPLUS

CN Benzenesulfonic acid, 2,4,6-trimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM :

CRN 3453-83-6 CMF C9 H12 O3 S

CN 1,5-Naphthalenedisulfonic acid, (2S)-compd. with 1-[(2R)-2-|3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 81-04-9 CMF C10 H8 O6 S2

SO3H

RN 631916-96-6 HCAPLUS

CN Naphthalenesulfonic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)]phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 25155-19-5 CMF C10 H8 O3 S

CCI IDS

D1-S03H

RN 631916-97-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzensulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

Serial No.:10/516,423

RN 631916-98-8 HCAPLUS

CN D-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyllhydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 6893-26-1 CMF C5 H9 N O4

Absolute stereochemistry.

RN 631917-01-6 HCAPLUS

CN L-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Serial No.:10/516,423

CM 2

CRN 56-86-0 CMF C5 H9 N O4

Absolute stereochemistry.

RN 631917-03-8 HCAPLUS

CN Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(9CI) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 617-65-2

CMF C5 H9 N O4

RN 631917-04-9 HCAPLUS

CN L-Arginine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.

RN 631917-05-0 HCAPLUS

CN Glycine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-40-6 CMF C2 H5 N O2

RN 631917-06-1 HCAPLUS

CN Benzoic acid, 2-hydroxy-, (28)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 69-72-7 CMF C7 H6 O3

CC CO2

RN 631917-07-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl|hydroxyacetyl|-N-[[4-[imino(methoxyamino)methyl]phenyl|methyl]-, (2S)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 631917-09-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

RN 631917-11-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 77-92-9 CMF C6 H8 O7

CO2H HO2C-CH2-CO2

RN 631917-13-0 HCAPLUS

CN Butanedioic acid, 2-hydroxy-, (2S)-(2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 97-67-6

CMF C4 H6 O5

Absolute stereochemistry. Rotation (-).

RN 631917-15-2 HCAPLUS

CN Butanedioic acid, 2-hydroxy-, (2S)-compd. with

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1-[(2R)-2-[3-chloro-5-(difluoromethoxy)pheny1]-2-hydroxyacety1]-N-[[4-[imino(methoxyamino)methy1]pheny1]methy1]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 1

CRN 6915-15-7 CMF C4 H6 O5

RN 631917-17-4 HCAPLUS

CN D-Gluconic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(diffuoromethoxy)phenyl|hydroxyacetyl|-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 526-95-4 CMF C6 H12 O7

Absolute stereochemistry.

RN 631917-20-9 HCAPLUS

CN Ethanesulfonic acid, (2S)-compd. with

1-{(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[{2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

RN 631917-21-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[12,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 631917-22-1 HCAPLUS

N Sulfamic acid, cyclohexyl-, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 100-88-9 CMF C6 H13 N O3 S

RN 631917-23-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

RN 631917-24-3 HCAPLUS
CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (2S)- (CA
INDEX NAME)

Absolute stereochemistry.

RN 631917-25-4 HCAPLUS
CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1 CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM :

CRN 104-15-4 CMF C7 H8 O3 S

RN 631917-26-5 HCAPLUS

CN 2-Naphthalenesulfonic acid, (2S)-compd. with
 1={(2R)-2-{3-chloro-5-(difluoromethoxy) phenyl}-2-hydroxyacetyl}-N-{{2,6-difluoro-4-[mino(methoxyamino)methyl]phenyl]methyl}-2 azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 120-18-3 CMF C10 H8 O3 S

RN 631917-27-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9 CMF H2 O4 S

HO____OF

RN 631917-28-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 631917-29-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

RN 631917-30-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S)-(CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 631917-31-2 HCAPLUS

CN 1,2-Ethanedisulfonic acid, (2S)-compd. with

1-{(2R)-2-[3-chloro-5-(difluoromethoxy) phenyl]-2-hydroxyacetyl]-N-[{2,6-difluoro-4-[imino (methoxyamino) methyl] phenyl]methyl]-2azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM :

CRN 110-04-3 CMF C2 H6 O6 S2

H038-CH2-CH2-803H

RN 631917-32-3 HCAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (15,4R)-, compd. with (2S)-1-[(2R)-[3-chloro-5- (difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4- [imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 3144-16-9

CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

RN 631917-33-4 HCAPLUS

CN Bicyclo[2.2.1]heptane-l-methanssulfonic acid, 7,7-dimethyl-2-oxo-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 5872-08-2 CMF C10 H16 O4 S

CMF CIU HIS O4 S

RN 631917-34-5 HCAPLUS

CN Benzenesulfonic acid, 2,5-dimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy) phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 609-54-1 CMF C8 H10 O3 S

RN 631917-35-6 HCAPLUS

CN Benzenesulfonic acid, 2,4,6-trimethyl-, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxymamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

RN 631917-36-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide (1:1) (CA INDEX NAME)

CM 1

Absolute stereochemistry.

CM 2

CRN 81-07-2 CMF C7 H5 N O3 S

Serial No.:10/516,423

RN 631917-37-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 Cl F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 631917-39-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-

(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, phosphate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Serial No.:10/516,423

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 631917-40-3 HCAPLUS

CN D-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(diffuoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-diffuoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 6893-26-1

CMF C5 H9 N O4

Absolute stereochemistry.

631917-42-5 HCAPLUS RN

CN L-Arginine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

631917-43-6 HCAPLUS RN

> L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(9CI) (CA INDEX NAME)

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM :

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631917-44-7 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-

(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1),

monohydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631917-45-8 HCAPLUS

CN 1,5-Naphthalenedisulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-

azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 81-04-9 CMF C10 H8 O6 S2

Serial No.:10/516,423

IT 433937-93-0 433938-09-1 433938-32-0 631917-18-5 631917-19-6 631917-46-9

631917-47-0 631917-48-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (modified-release pharmaceutical formulation containing cardiovascular agents)

RN 433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-09-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-32-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

RN 631917-18-5 HCAPLUS

CN 1-Propanesulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 5284-66-2 CMF C3 H8 O3 S

RN 631917-19-6 HCAPLUS

CN 1-Butanesulfonic acid, (28)-compd. with 1-[(2R)-2-[3-chloro-5-(diffluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 2386-47-2 CMF C4 H10 O3 S

RN 631917-46-9 HCAPLUS

CN 1-Propanesulfonic acid, (2S)-compd. with
 1={(2R)-2={3-chloro-5-(difluoromethoxy)phenyl}-2-hydroxyacetyl}-N-{{2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl}-2 azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Serial No.:10/516,423

CM 2

CRN 5284-66-2 CMF C3 H8 O3 S

RN 631917-47-0 HCAPLUS

CN 1-Butanesulfonic acid, (2S)-compd. with

 $1-\{(2R)-2-\{3-chloro-5-(difluoromethoxy)phenyl\}-2-hydroxyacetyl\}-N-\{\{2,6-difluoro-4-\{limino (methoxymaino) methyl)phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)$

CRN 433938-32-0

CM 1

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 2386-47-2

CMF C4 H10 O3 S

631917-48-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)pheny1]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-09-1

CMF C23 H26 C1 F N4 O5

Absolute stereochemistry.

CM 2

CRN 98-11-3

CMF C6 H6 O3 S

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

L20 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 3 2003:971864 HCAPLUS Full-text 140:31485

Immediate-release pharmaceutical formulation of amidine compounds

INVENTOR(S): Abrahmsen Alami, Susanna; Inghardt, Tord;

Magnusson, Anders; Sigfridsson, Carl-Gustaf; Thune, Mikael

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	PATENT NO.						DATE		APPLICATION NO.					DATE				
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	RU 2351314																	
	NO 2004004810																	
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OTHER SOURCE(S): MARPAT 140:31485

ED Entered STN: 14 Dec 2003 GI

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An immediate-release pharmaceutical formulation is provided comprising (a) as
     active ingredient, a compound of formula I (R1 = C1-2 alkyl substituted by one
     or more fluoro substituents; R2 = H, OH, OMe, OEt; n = 0, 1, 2) or a
     pharmaceutically acceptable salt thereof; and (b) a pharmaceutically
     acceptable diluent or carrier. When the active ingredient is other than in
     the form of a salt, the formulation does not solely contain (i) a solution of
     one active ingredient and water. (ii) a solution of one active ingredient and
     DMSO, or (iii) a solution of one active ingredient in a mixture of ethanol/PEG
     660 12-hydroxy stearate/water (5:5:90). Such formulations are used for the treatment of a cardiovascular disorder. For example, a solution was prepared
     by dissolving Compound A [I (R1 = CHF2, R2 = OMe, n = 0) (preparation given)]
     in a hydroxypropy1-β-cyclodextrin/water diluent (40:60 weight/weight%) (136
     umol Compound A to 1 mL diluent) and adjusting pH to 3.7 with HCl. The
     solubility of Compound A was at least 700 times higher in this vehicle
     compared to water alone.
    433937-73-6P 433937-74-7P 433937-93-0DP, salts
     with saccharinic acid 433937-93-0P 433938-07-9P
     433938-09-1P 433938-21-7P 433938-22-8P
     433938-31-9P 433938-32-0P 631916-71-7P
     631916-72-8P 631916-73-9P 631916-74-0P
     631916-75-1P 631916-76-2P 631916-77-3P
     631916-79-5P 631916-80-8P 631916-81-9P
     631916-83-1P 631916-85-3P 631916-86-4P
     631916-89-7P 631916-91-1P 631916-92-2P
     631916-93-3P 631916-94-4P 631916-95-5P
     631916-97-7P 631916-98-8P 631917-01-6P
     631917-03-8P 631917-04-9P 631917-05-0P
     631917-06-1P 631917-07-2P 631917-09-4P
     631917-11-8P 631917-13-0P 631917-15-2P
     631917-17-4P 631917-18-5P 631917-19-6P
     631917-20-9P 631917-21-0P 631917-22-1P
     631917-23-2P 631917-24-3P 631917-25-4P
     631917-26-5P 631917-27-6P 631917-28-7P
     631917-29-8P 631917-30-1P 631917-31-2P
     631917-32-3P 631917-33-4P 631917-34-5P
     631917-35-6P 631917-36-7P 631917-37-8P
     631917-39-0P 631917-40-3P 631917-42-5P
     631917-43-6P 631917-44-7P 631917-45-8P
     634151-54-5P 634151-59-0P
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation and immediate-release formulation of amidine compds. for
        treatment of thrombosis)
RN
    433937-73-6 HCAPLUS
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(CA INDEX NAME)

Absolute stereochemistry.

CN

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[(4-((hydroxyamino)iminomethyl)phenyl]methyl]-, (2S)-

RN 433937-74-7 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433937-93-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-{(2R)-2-{3-chloro-5-(difluoromethoxy)phenyl}-2hydroxyacetyl]-N-[{4-{imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

- RN 433937-93-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-07-9 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-09-1 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (28)-(CA INDEX NAME)

- RN 433938-21-7 HCAPLUS
- $\begin{array}{lll} & & 2-\text{Azetidinecarboxamide, } 1-[(2R)-2-[3-\text{chloro}-5-(2,2-\text{difluoroethoxy})\text{phenyl}] \\ & & 2-\text{hydroxyacetyl}]-N-[[4-[(\text{hydroxyamino})\text{iminomethyl}]\text{phenyl}]\text{methyl}]-, \ (2S)-1 \\ & & (2S)-1 -$

(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-22-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (28)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-31-9 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,6-difluorophenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 631916-71-7 HCAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S,4R)-, compd. with (2S)-1-[(2R)-[3-chloro-5-difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 3144-16-9

CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

RN 631916-72-8 HCAPLUS ĊN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl|hydroxyacetyl|-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME) CM

CRN 433937-93-0 Absolute stereochemistry.

CMF C22 H23 C1 F2 N4 O5

CM 2 CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

631916-73-9 HCAPLUS RN Sulfamic acid, cyclohexyl-, compd. with $(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl] \ hydroxyacetyl]-N-[[4-chloro-5-(difluoromethoxy)phenyl] \ hydroxyacetyll \ hydroxya$ [imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME) CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 100-88-9 CMF C6 H13 N O3 S

RN 631916-74-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, phosphate (1:1) (salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

RN 631916-75-1 HCAPLUS

CN Phosphoric acid, dimethyl ester, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxyl)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

RN 631916-76-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-,

4-methylbenzenesulfonate (1:1) (CA INDEX NAME)
CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 631916-77-3 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(diffuoromethoxy)phenyl|hydroxyacetyl|-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 56-87-1

CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-79-5 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1), monohydrochloride (9CI) (CA INDEX NAME)

CM :

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1

CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-80-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 81-07-2 CMF C7 H5 N O3 S

RN 631916-81-9 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 631916-83-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-[difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (25)- (CA INDEX NAME)

Absolute stereochemistry.

● HC1

RN 631916-85-3 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (25)- (CA INDEX NAME)

Absolute stereochemistry.

HBr

RN 631916-86-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[mino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 631916-89-7 HCAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (2S)-compd. with 1-{(2R)-2-{3-chloro-5-(difluoromethoxy)phenyl}-2-hydroxyacetyl]-N-{[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 5872-08-2 CMF C10 H16 O4 S

RN 631916-91-1 HCAPLUS

Ethanesulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 594-45-6 CMF C2 H6 O3 S

RN 631916-92-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7697-37-2 CMF H N O3



RN 631916-93-3 HCAPLUS

CN Benzenesulfonic acid, 2,5-dimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 609-54-1 CMF C8 H10 O3 S

RN 631916-94-4 HCAPLUS

Benzenesulfonic acid, 2,4,6-trimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM

CN

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 3453-83-6 CMF C9 H12 O3 S

RN 631916-95-5 HCAPLUS

CN 1,5-Naphthalenedisulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 81-04-9 CMF C10 H8 O6 S2

RN 631916-97-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

CN D-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

Absolute stereochemistry.

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 6893-26-1 CMF C5 H9 N O4

Absolute stereochemistry.

RN 631917-01-6 HCAPLUS

CN L-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 56-86-0 CMF C5 H9 N O4

Absolute stereochemistry.

RN 631917-03-8 HCAPLUS

CN Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 617-65-2

CMF C5 H9 N O4

RN 631917-04-9 HCAPLUS

CN L-Arginine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.

RN 631917-05-0 HCAPLUS

CN Glycine, compd. with (28)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-40-6 CMF C2 H5 N O2

RN 631917-06-1 HCAPLUS

CN Benzoic acid, 2-hydroxy-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 69-72-7 CMF C7 H6 O3

CC CO2

RN 631917-07-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl|hydroxyacetyl|-N-[[4-[imino(methoxyamino)methyl]phenyl|methyl]-, (2S)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 631917-09-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 631917-11-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 77-92-9 CMF C6 H8 O7

002H H02C-CH2-CH2-CO2

RN 631917-13-0 HCAPLUS

CN Butanedioic acid, 2-hydroxy-, (2S)-(2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 97-67-6

CMF C4 H6 O5

Absolute stereochemistry. Rotation (-).

RN 631917-15-2 HCAPLUS

CN Butanedioic acid, 2-hydroxy-, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)pheny1]-2-hydroxyacety1]-N-[[4-[imino(methoxyamino)methy1]pheny1]methy1]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM :

CRN 6915-15-7 CMF C4 H6 O5

RN 631917-17-4 HCAPLUS

CN D-Gluconic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(diffuoromethoxy)phenyl|hydroxyacetyl|-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 526-95-4 CMF C6 H12 07

Absolute stereochemistry.

RN 631917-18-5 HCAPLUS

CN 1-Propanesulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 5284-66-2 CMF C3 H8 O3 S

RN 631917-19-6 HCAPLUS

CN 1-Butanesulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 2386-47-2 CMF C4 H10 O3 S

CMF C4 HIU US S

RN 631917-20-9 HCAPLUS

CN Ethanesulfonic acid, (2S)-compd. with 1-{(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl}-N-[{2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME) CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 594-45-6 CMF C2 H6 O3 S

RN 631917-21-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

RN 631917-22-1 HCAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 100-88-9 CMF C6 H13 N O3 S

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (1:1) (CA INDEX NAME)

CM 1

CPI I

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 631917-24-3 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (2S)- (CA
INDEX NAME)

HBr

631917-25-4 HCAPLUS

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)pheny1]-2-CN hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM

RN

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 104-15-4

CMF C7 H8 O3 S

631917-26-5 HCAPLUS RN

CN 2-Naphthalenesulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM :

CRN 120-18-3 CMF C10 H8 O3 S

RN 631917-27-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 631917-28-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4limic/methoxymiolynthyl]phonyl-metholl- (2C)- methonocylfonate (11)

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM :

CRN 75-75-2

CMF C H4 O3 S

RN 631917-29-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4limino(methoxyamino)methyl]phenyl|methyl|-, (2S)-, nitrate (1:1) (CA

[imino(methoxyamino)methyi[phenyi]methyi]-, (25)-, :
INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7697-37-2

CMF H N O3

RN 631917-30-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S)-(CA INDEX NAME)

● HC1

RN 631917-31-2 HCAPLUS

CN 1,2-Ethanedisulfonic acid, (2S)-compd. with

1-{(2R)-2-[3-chloro-5-(difluoromethoxyl)phenyl]-2-hydroxyacetyl]-N-[{2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2azetidinecarboxamide (1:2) (CA INDEX NAME)

CM :

CRN 433938-32-0

CMF C22 H21 Cl F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 110-04-3

CMF C2 H6 O6 S2

H038-CH2-CH2-S03H

RN 631917-32-3 HCAPLUS

CN Bicyclo[2.2.1]heptane-l-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (15,4R)-, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).



RN 631917-33-4 HCAPLUS

Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 5872-08-2 CMF C10 H16 O4 S

CM 1

RN 631917-34-5 HCAPLUS

CN Benzenesulfonic acid, 2,5-dimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-

difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2azetidinecarboxamide (1:1) (CA INDEX NAME)

azecidinecarboxamide (1:1) (ch imbih man

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 609-54-1

CMF C8 H10 O3 S

RN 631917-35-6 HCAPLUS

CN Benzenesulfonic acid, 2,4,6-trimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy) phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino (methoxyamino) methyl] phenyl] methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 3453-83-6

CMF C9 H12 O3 S

RN 631917-36-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide (1:1) (CA INDEX NAME)

CM 1

Absolute stereochemistry.

CM 2

CRN 81-07-2 CMF C7 H5 N O3 S

RN 631917-37-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM :

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 631917-39-0 HCAPLUS CN 2-Azetidinecarboxamic

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, phosphate (1:1) (salt)

(9CI) (CA INDEX NAME)

CM I

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-38-2

CMF H3 O4 P

RN 631917-40-3 HCAPLUS

CN D-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 6893-26-1 CMF C5 H9 N O4

Absolute stereochemistry.

RN 631917-42-5 HCAPLUS

CN L-Arginine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl|hydroxyacetyl|-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

Absolute stereochemistry.

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

RN 631917-43-6 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-

(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631917-44-7 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1), monohydrochloride (9GI) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

RN 631917-45-8 HCAPLUS

CM I

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 81-04-9 CMF C10 H8 O6 S2

RN 634151-54-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyaacetyl]-N-[[2,6-difluoro-4-[[hydroxyamino] iminomethyl phenyl methyl]-, (2S)- (CA INDEX NAME)

RN 634151-59-0 HCAPLUS

CN 1,2-Ethanedisulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 110-04-3 CMF C2 H6 O6 S2

HO3S-CH2-CH2-SO3H

IT 433938-43-3P 433939-57-2P 433939-99-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and immediate-release formulation of amidine compds. for treatment of thrombosis)

azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

~c1

- RN 433939-57-2 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2,2difluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino[methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

- RN 433939-99-2 HCAPLUS

Absolute stereochemistry.

PAGE 1-B

~c1

IT 433937-75-8P 433938-08-0F 634151-60-3P 634151-61-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and immediate-release formulation of amidine compds. for treatment of thrombosis)

RN 433937-75-8 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2.2.2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-74-7

CMF C21 H21 C1 F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433938-08-0 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-07-9 CMF C22 H24 C1 F N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

634151-60-3 HCAPLUS

2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,6difluorophenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-

hydroxyacetyl]-, acetate (1:1), (2S)- (CA INDEX NAME)
CM 1

CRN 433938-31-9

CMF C21 H19 C1 F4 N4 O4

Absolute stereochemistry.

CM 2

CRN 64-19-7

CMF C2 H4 O2

RN 634151-61-4 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, acetate (1:1), (2S)- (CA INDEX NAME)

CM 1

CRN 433937-74-7

CMF C21 H21 C1 F2 N4 O4

CM 2 CRN 64-19-7 CMF C2 H4 O2

HO_C_CH3

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2002:428874 HCAPLUS Full-text

DOCUMENT NUMBER: 137:20289

TITLE: New mandelic acid derivatives and their use as thrombin inhibitors

INVENTOR(S): Inghardt, Tord; Johansson, Anders; Svensson,

Arne

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 204 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

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PA:					KIND DATE													
WO								WO 2001-SE2657										
	W: AE, AC		AG,	AL,	AM,	AT,	AU,	AZ,	BA, BB,		, BG,	BG, BR,		BZ,	CA,	CH,	CN,	
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OTHER SOURCE(S): MARPAT 137:20289

ED Entered STN: 07 Jun 2002

GI

RN

CN

AB Mandelic acid derivs. I [R = substituted Ph; R1 = OH, CH2OH; X = C6H4, (di)azaphenylene; Y = CH2, CH2CH2] and pharmaceutically-acceptable prodrugs thereof, were prepd for use as competitive inhibitors of trypsin-like proteases, such as thrombin, or as anticoagulants. Thus, 3,5-C1(F2CH0)C6H3CHO was prepared from 3,5-C12C6H3OMe and was converted to 3,5-C1(F2CH0)C6H3CHO wish was hydrolyzed and resolved with lipase to give (R)-3,5-C1(F2CH0)C6H3CH(OH)CO2H. This acid was used to acylate the azetidine fragment and deblocked to give the amide (R)-II which had an IC50 <0.02 uM in the thrombin clotting time test.

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433937-72-5P 433937-73-6P 433937-74-7P
433937-75-8P 433937-76-9P 433937-77-0P
433937-78-1P 433937-79-2P 433937-80-5P
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433938-11-5P 433938-12-6P 433938-13-7P
433938-14-8P 433938-15-9P 433938-16-0P
433938-17-1P 433938-18-2P 433938-19-3P
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433938-35-3P 433938-36-4P 433938-37-5P
433938-51-3P 433938-52-4P 433938-53-5P
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433938-57-9P 433938-58-0P 433938-59-1P
433938-60-4P 433938-61-5P 433938-62-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of mandelovlazetidinecarboxamides as thrombin inhibitors)
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(preparation of mandeloylazetidinecarboxamides as thrombin inhibitors 433937-72-5 HCAPLUS

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[[(cyclobutyloxy)amino]iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433937-73-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433937-74-7 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

RN 433937-75-8 HCAPLUS

CN 2-Azetidineoarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-74-7

CMF C21 H21 C1 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433937-76-9 HCAPLUS

CN Carbamic acid, [[4-[[[(28)-1-[(2R)-[3-chloro-5-(difluoromethoxy]phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, cyclopentyl ester (9CI) (CA INDEX NAME)

- RN 433937-77-0 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 433937-78-1 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433937-79-2 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-

 $\begin{tabular}{ll} [3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, & (2S)-, & (2,2-trifluoroacetate & (1:1) & (CA INDEX NAME) \\ \end{tabular}$

CM 1

CRN 433937-78-1

CMF C21 H20 C1 F3 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

- RN 433937-80-5 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

RN 433937-81-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino[[(5-methyl-3isoxazolyl]methoxy]amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433937-98-5 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2,2,2-trifluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

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RN 433937-99-6 HCAPLUS
CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2[3-chloro-5-(2,2,2-trifluoroethoxylphenyl]-2-hydroxyacetyl]-, (2S)-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
CM 1
CRN 433937-98-5
CMF C22 H22 C1 F3 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 433938-00-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2,2-trifluoroethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

RN 433938-01-3 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-02-4 HCAPLUS

CN 2-Azetidinecarboxamide, N-[{4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-01-3

CMF C22 H23 C1 F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433938-03-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-04-6 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433938-05-7 HCAPLUS
- $\begin{tabular}{ll} $\mathbb{C}\mathbb{N}$ & $2-$Azetidinecarboxamide, $N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, $(2S)-, $(2S)-,$

2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-04-6

CMF C21 H22 C1 F N4 O4

Absolute stereochemistry.

CM :

CRN 76-05-1 CMF C2 H F3 O2

- RN 433938-06-8 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(fluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-08-0 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-,
 [2,2-trifluoroacetate (1:1) (CA INDEX NAME)
 - CM
 - CRN 433938-07-9 CMF C22 H24 C1 F N4 O4

- CM 2
- CRN 76-05-1
- CMF C2 H F3 O2

RN 433938-09-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (28)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-10-4 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-11-5 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-10-4

CMF C23 H25 C1 F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433938-12-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-13-7 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-(difluoromethoxy)-5-fluorophenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

RN 433938-14-8 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-(difluoromethoxy)-5-fluorophenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-13-7

CMF C21 H21 F3 N4 O4
Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

- RN 433938-15-9 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-(difluoromethoxy)-5-fluorophenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-16-0 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-17-1 HCAPLUS

CN 2-Azetidinecarboxamide, N=[{4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-16-0

CMF C21 H22 Br F N4 O4

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RN 433938-18-2 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethy1)pheny1]methy1]-1-[(2R)-2-[3-bromo-5-(difluoromethoxy)pheny1]-2-hydroxyacety1]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-19-3 HCAPLUS

CN 2-Azetidinecarboxamide, N=[{4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-18-2

CMF C21 H21 Br F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F_ [_____

RN 433938-20-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-bromo-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (28)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-21-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

RN 433938-30-8 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-31-9 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,6-difluorophenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433938-32-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-33-1 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,5difluorophenyl]methyl]-1-([2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-35-3 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(ethoxyamino)iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433938-36-4 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)pheny1]-2-hydroxyacety1]-N-[[4-[imino(propoxyamino)methy1]pheny1]methy1]-, (2S)-

(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-37-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[4-[imino](1-methylethoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-51-3 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[mino[(3-pyridinylmethoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-M-[[4-[imino](2-methylpropoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-53-5 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(ethoxyamino)iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-54-6 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino](phenylmethoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

RN 433938-55-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[4-[(cyclohexyloxy)amino]iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-56-8 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[4-[(cyclobutyloxy)aminojiminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-57-9 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino[]2-[3-(trifluoromethyl)phenoxy]ethoxy]amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433938-58-0 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-[[[(4chloropheny]]methoxy] amino]iminomethyl]phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-59-1 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-{(2R)-2-{3-chloro-5-(trifluoromethoxy)phenyl}-2hydroxyacetyl}-N-{[4-{imino[(3methoxyphenyl)methoxy]amino|methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

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- RN 433938-60-4 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-[[[(2bromophenyl]methoxy] mino]minomethyl]phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-61-5 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[minol[(4methylphenyl)methoxy]aminol[methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-62-6 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[mino](1-propylbutoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

433938-96-6P 433939-08-3P 433939-18-5P 433939-26-5P 433939-38-9P 433939-47-0P 433939-55-0P 433939-57-2P 433939-58-3P 433939-99-2P 433940-15-9P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of mandeloylazetidinecarboxamides as thrombin inhibitors)

433938-43-3 HCAPLUS

RN

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

433938-43-3P 433938-50-2P 433938-88-6P

Absolute stereochemistry.

PAGE 1-B

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⁴³³⁹³⁸⁻⁵⁰⁻² HCAPLUS RN

Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(trifluoromethoxy)phenyl]hydroxyacetyl]-2-

azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

RN 433938-88-6 HCAPLUS
CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2,2,2-trifluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsily)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

-c1

- RN 433938-96-6 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2,2-difluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

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- RN 433939-08-3 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(fluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

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RN 43393-18-5 HCAPLUS
CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2-fluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino[methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl setter (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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-c1

- RN 433939-26-5 HCAPLUS

Absolute stereochemistry.

PAGE 1-B

-c1

RN 433939-38-9 HCAPLUS

CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-(difluoromethoxy)-5fluorophenyl]hydroxyacety]]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

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RN 433939-47-0 HCAPLUS
CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-bromo-5(fluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl[carbonyl]amino[methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl setter (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

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RN 433939-55-0 HCAPLUS

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-bromo-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

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RN 433939-57-2 HCAPLUS

CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(2,2-difluoroethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2fluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 433939-99-2 HCAPLUS
- CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino]methyl]3,5-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

~c1

RN 433940-15-9 HCAPLUS

CN Carbamic acid, [[4-[[[1](2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino|methyl]-2,5-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

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IIT 433938-22-8P 433938-34-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

 $(\overline{p}reparation\ of\ mandeloylazetidine carbox amides\ as\ thrombin\ inhibitors)$ RN 433938-22-8 HCAPLUS

CN 2-Azeidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

- RN 433938-34-2 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,5-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 5 WPIX COPYRIGHT 2009 THOMSON REUTERS on STN

ACCESSION NUMBER: 2003-402841 [38] WPIX CROSS REFERENCE: 2002-599409; 2001-434941

C2003-107107 [38] DOC. NO. CPI:

TITLE: New N-(4-amidino-2,6-difluorobenzyl)-1-(2-(3-chloro-5-

difluoromethoxyphenyl)-2-hydroxyacetyl)-2-

azetidinecarboxamide compounds useful as thrombin inhibitors

DERWENT CLASS: B03

INVENTOR:

INGHARDT T; JOHANSSON A; SVENSSON A; ANDERS J; ARNE S; TORD I

PATENT ASSIGNEE: (ASTR-C) ASTRAZENECA AB; (INGH-I) INGHARDT T; (JOHA-I)

JOHANSSON A; (SVEN-I) SVENSSON A

COUNTRY COUNT: 100

PATENT INFO ABBR.:

P.	ATENT NO	KIN	DATE			PG	MAIN	IPC
W	0 2003018551	A1		(200338)*				
E	P 1423362	A1	20040602	(200436)	EN			
K	R 2004029091	A	20040403	(200451)	KO			
A	U 2002324410	A1	20030310	(200452)	EN			
В	R 2002011847	A	20040908	(200462)	PT			
U	S 20040242492	A1	20041202	(200480)	EN			
H	U 2004001189	A2	20041228	(200506)	HU			
J	P 2005504057	W	20050210	(200511)	JA	103		
C	N 1549808	A	20041124	(200516)	ZH			
M	X 2004001825	A1	20040701	(200545)	ES			
Z.	A 2004001083	A	20050727	(200560)#	EN	76		
N	Z 531109	A	20060331	(200626)	EN			
U	S 7056907	B2	20060606	(200638)	EN			
C	N 1301969	C	20070228	(200749)	z_H			
M	X 247328	В	20070718	(200856)	ES			
A	U 2002324410	B2	20080424	(200858)	EN			
N	0 326496	В1	20081215	(200919)	NO			
R	U 2341516	C2	20081220	(200919)	RU			

Page 159 of 381

APPLICATION DETAILS:

PATENT NO	KIND	API	PLICATION	DATE
WO 2003018551	A1	WO	2002-SE1557	20020830
WO 2003018551 AU 2002324410	A1	AU	2002-324410	20020830
AU 2002324410	B2	AU	2002-324410	20020830
BR 2002011847	A	BR	2002-11847 2	20020830
CN 1549808 A			2002-816924	
CN 1301969 C			2002-816924	
EP 1423362 A1			2002-759050	
NZ 531109 A			2002-531109	
	PCT Application		2002-SE1557	
	A PCT Application		2002-SE1557	
	2 Al PCT Application		2002-SE1557	
	A2 PCT Application		2002-SE1557	
	W PCT Application		2002-SE1557	
	A1 PCT Application		2002-SE1557	
	CT Application		2002-SE1557	
	PCT Application		2002-SE1557	
	CT Application		2002-SE1557	
JP 2005504057			2003-523215	
HU 2004001189			2004-1189 20	
ZA 2004001083			2004-1083 20	
MX 2004001825	A1		2004-1825 20	
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US 2004024249	2 A1		2004-487805	
US 7056907 B2			2004-487805	
KR 2004029091			2004-702939	
	PCT Application		2002-SE1557	
	PCT Application		2002-SE1557	
RU 2341516 C2			2004-103625	
NO 326496 B1		NO	2004-813 200	140224

FILING DETAILS:

PAT	TENT NO	KIND	PATENT NO
EP	1423362	Al Based on	WO 2003018551 A
AU	2002324410	Al Based on	WO 2003018551 A
BR	2002011847	A Based on	WO 2003018551 A
HU	2004001189	A2 Based on	WO 2003018551 A
JP	2005504057	W Based on	WO 2003018551 A
MX	2004001825	Al Based on	WO 2003018551 A
NZ	531109	A Based on	WO 2003018551 A
US	7056907	B2 Based on	WO 2003018551 A
MX	247328	B Based on	WO 2003018551 A
AU	2002324410	B2 Based on	WO 2003018551 A
NO	326496	B1 Previous E	Publ NO 2004000813 A
RU	2341516	C2 Based on	WO 2003018551 A
PRIORITY	APPLN. INFO:	WO 2001-SE2657	20011130
		SE 2001-2921	20010830
		SE 2001-2657	20011130
		ZA 2004-1083	20040210
		WO 2001-SE2	20011130
AB WO	2003018551 A1	UPAB: 2009032	7

 $\label{eq:NOVELTY-N-(4-Amidino-2,6-difluorobenzyl)-1-(2-(3-chloro-5-difluoromethoxyphenyl)-2-hydroxyacetyl)-2-azetidinecarboxamide compounds (I) are new.$

DETAILED DESCRIPTION - N-(4-amidino-2,6-difluorobenzy1)-1-(2-(3-chloro-5-difluoromethoxypheny1)-2-hydroxyacety1)-2-azetidinecarboxamide compounds of formula (I) and their pharmaceutically acceptable derivatives are new.

R1 = H, OR2 or COOR3;

R2 = H, 1-10C alkyl, QAr or QOAr;

Q = 1-3C alkylene optionally interrupted by O;

Ar = aryl optionally substituted by halo, Ph, Me, OMe, halophenyl, halomethyl or halomethoxy; and

R3 = 1-10C alkyl (optionally interrupted by O), QAr or QOAr.

INDEPENDENT CLAIMS are also included for:

 a method for treating a condition where thrombin inhibition or anticoagulant therapy is indicated, comprising administering a compound (I);

(2) preparation of (I).

ACTIVITY - Anticoagulant; Thrombolytic.

MECHANISM OF ACTION - Thrombin inhibitor.

In an assay comprising incubating an inhibitor solution comprising 1-($(3-\mathrm{chloro}-5-\mathrm{diffluoromethoxy-phenyl})-\mathrm{hydroxy-acetyl})-\mathrm{azetidine}-2-$ carboxylic acid 4-carbamimidoyl-2,6-diffluoro-benzylamide (Ia) (25 microliters) with plasma for 3 minutes, adding human thrombin in buffer solution (pH 7.4, 25 microliters, 4 MHH units.ml) and measuring the clotting time, (Ia) doubled clotting time with an IC50 of 0.02 microM.

USE - (I) Are useful for treating conditions where thrombin inhibition or anticoagulant therapy is indicated, especially thrombosis and hypercoagulability in blood and tissues (all claimed).

AN.S DCR-691165

CN.S 1-[(3-Chloro-5-difluoromethoxy-phenyl)-hydroxy-acetyl]-azetidine-2-

carboxvlic acid 4-carbamimidov1-2,6-difluoro-benzvlamide

SDCN RAA2A0

AN.S DCR-709336

CN.S 1-[(3-Chloro-5-difluoromethoxy-phenyl)-hydroxy-acetyl]-azetidine-2-carboxylic acid 2,6-difluoro-4-(N-methoxy-carbamimidoyl)-benzylamide SDCN RAACM2

AN.S DCR-709337

CN.S 1-[(3-Chloro-5-difluoromethoxy-phenyl)-hydroxy-acetyl]-azetidine-2-carboxylic acid 2,6-difluoro-4-(N-hydroxycarbamimidoyl)-benzylamide SDCN RAACK3

Structure Search

=> FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 14:58:49 ON 22 MAY 2009

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FILE COVERS 1907 - 22 May 2009 VOL 150 ISS 22 FILE LAST UPDATED: 21 May 2009 (20090521/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D STAT OUE L7

L2 STR

Structure attributes must be viewed using STN Express query preparation.

L4 150 SEA FILE=REGISTRY SSS FUL L2

L7 15 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L4

=> FILE WPIX

FILE 'WPIX' ENTERED AT 14:58:59 ON 22 MAY 2009 COPYRIGHT (C) 2009 THOMSON REUTERS

FILE LAST UPDATED: 17 MAY 2009 <20090517/UP>
MOST RECENT UPDATE: 200931 <200931/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

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>>> IPC, ECLA and US National Classifications have been updated with reclassifications to March 15th, 2009.

F-Term and FI-Term original classifications are current and reclassification will commence in June.
No update date (UP) has been created for the reclassified

documents, but they can be identified by specific update codes (see HELP CLA for details) <<<

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http://www.stn-international.com/stn_guide.html

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EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0: http://www.stn-international.com/DWPIAnaVist2 0608.html

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

'BI.ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> D STAT QUE L16

L2 STR

Structure attributes must be viewed using STN Express query preparation.

L15 80 SEA FILE=WPIX SSS FUL L2

L16 11 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L15/DCR

=> DUP REM L7 L16

FILE 'HCAPLUS' ENTERED AT 14:59:09 ON 22 MAY 2009

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PROCESSING COMPLETED FOR L16

L21 16 DUP REM L7 L16 (10 DUPLICATES REMOVED)
ANSWERS '1-15' FROM FILE HCAPLUS
ANSWER '16' FROM FILE WPIX

=> D IBIB ED ABS HITSTR 1-15; D IBIB AB HITSTR 16

L21 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2009:264552 HCAPLUS Full-text

DOCUMENT NUMBER: 150:290757

TITLE: Extended release pharmaceutical of a thrombin

inhibitor

INVENTOR(S): Abrahamsson, Bertil Sven Inge; Abrahamsen Alami, Susanna Johanna; Bagger-Joergensen, Haakan Lars;

Cullberg, Marie Christine Sindeby; Hjaertstam, Lars
Johan Pontus De Verdier; Nilsson, Susanne Anette
PATENT ASSIGNEE(S): Astrazeneca MB, Swed; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 33pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

E	PATENT I				KIND DATE					APPL:	DATE							
7	WO 2009027745					A1 20090305			WO 2008-GB50755									
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,	
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW			
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		ΙE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
		ΑM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM								
Ţ	JS 2009	0061	000		A1		2009	0305	US 2008-200549					20080828				
PRIOR	PRIORITY APPLN. INFO.:								US 2	007-	9691	88P		P 21	0070	831		
ED E	Entered	STN	: 0	5 Ma:	r 20	09												

- An extended release pharmaceutical formulation comprising as active ingredient AB I or a pharmaceutically acceptable salt (such as a sulfonic acid salt or besylate salt); and a pharmaceutically acceptable diluent or carrier; for use in providing a therapeutic antithrombotic effect while limiting drug-drug interactions with other concomitantly dosed drug/s, particularly those which are metabolized by CYP-450 enzymes. E.g., an extented release tablet contained I besylate, cellulose, Hypromellose, Na stearyl fumarate and ethanol for processing.
- 433937-93-0 631916-97-7 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
- (extended release pharmaceutical of a thrombin inhibitor)
- 433937-93-0 HCAPLUS RN
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- 631916-97-7 HCAPLUS RN
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl -N-[[4-[imino(methoxyamino)methyl]phenyl methyl]-, (2\$)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 Absolute stereochemistry.

CMF C22 H23 C1 F2 N4 O5

CRN 98-11-3 CMF C6 H6 O3 S

IT 433937-93-0D, sulfonic acid salts

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (extended release pharmaceutical of a thrombin inhibitor)

RN 433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2008:702988 HCAPLUS Full-text

DOCUMENT NUMBER: 149:38826

TITLE: New crystalline forms of thrombin inhibitors and

comositions thereof

INVENTOR(S): Aaslund, Bengt Leonard; Bengtsson, Stefan; Bergman,
Gudrun Anita; Hohlneicher, Ursula Renata Maria; Ymen,

Bo Ingvar

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 55pp.

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2008068475
                               20080612
                                          WO 2007-GB4640
                         A1
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
            CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
            GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
            KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
            MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
            PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
            GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
                                          US 2007-950568
    US 20080287413
                         A1 20081120
                                                                  20071205
PRIORITY APPLN. INFO.:
                                           US 2006-868752P
                                                             P 20061206
    Entered STN: 12 Jun 2008
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GT

- AB The invention relates to crystalline forms of the Compound A (I; R = H) and Compound B (I; R = Me), pharmaceutical compns. containing them, processes for obtaining them and their use for the treatment of a condition where inhibition of thrombin is required or desired. The compds. of the invention may be in a non-solvated form (such as an anhydrate) or in the form of solvate, e.g., isopropanolate. Thus, Compound A in Et acetate was evaporated to a gel, isopropanol and some seeds of Compound A were added, and the mixture was left to stir overnight to obtain Compound A crystalline anhydrate. Microcryst. cellulose cores were coated in a fluidized bed with an aqueous solution of Compound A crystalline anhydrate/HPMC, followed by an ethanolic solution of Et cellulose/hydroxypropyl cellulose. The pellets were finally coated with Eudragit L30D to obtain enteric-coated pellets.
- IT 433937-73-6P 433937-93-0P

RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation and formulations of crystalline forms of thrombin inhibitors) 433937-73-6 HCAPLUS

N 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN

RN 433937-93-0 HCAPLUS

ĊN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)pheny1]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

ΙT 1031700-40-9P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and formulations of crystalline forms of thrombin inhibitors) 1031700-40-9 HCAPLUS

RN

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-CN hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, compd. with 2-propanol (3:1), (2S)- (CA INDEX NAME)

CM

CRN 433937-73-6

CMF C21 H21 C1 F2 N4 O5

CRN 67-63-0 CMF C3 H8 O

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2007:463352 HCAPLUS Full-text

DOCUMENT NUMBER: 146:462511

TITLE: Fibrin targeted therapeutics, particularly

peptidomimetics, their preparation and use in the treatment of thromboembolism, infection, and cancer

INVENTOR(S): McMurry, Thomas J.; Kolodziej, Andrew; Carpenter, Alan P., Jr.; Jones, Simon; Graham, Philip; Looby, Richard;

Shrikumar, A. Nair; Wang, Xifang; Overoye-Chen,

Kirsten; Barrett, John A.

PATENT ASSIGNEE(S): Epix Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 136pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE _____ ---------A2 20070426 WO 2007047608 WO 2006-US40430 A3 20070920 WO 2007047608 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA US 20070111947 20070517 US 2006-581677 A1 20061016 PRIORITY APPLN. INFO .: US 2005-726632P P 20051014 US 2006-800152P P 20060512

OTHER SOURCE(S): MARPAT 146:462511

ED Entered STN: 27 Apr 2007

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AR The invention is related to hybrid mols. of formula [D]m-[L]n-[F]q [I; wherein [D] comprises a bioactive moiety for treating thromboembolism, infection, and cancer; [L] comprises a linker moiety; [F] comprises a fibrin-targeting moiety selected from a peptide, peptidomimetic, or a small mol.; m, q = independently 1-20; n = 0-20]. I can provide enhanced efficacy and reduced systemic toxicity relative to a corresponding non-targeted bioactive mol. Thus, a paclitaxel-fibrin binding peptide conjugate II was prepared using paclitaxel, succinyl anhydride, and peptide III (H-R). II in a dose-responsive manner caused a significant decrease in the number of cancer cells in lung and breast cancer lines and in the number of smooth muscle and endothelial cells.
- 433937-93-0DP, bioconjugate with fibrin-targeting moieties RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fibrin targeted therapeutic agents useful in treatment of thromboembolism, infection, and cancer)

433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

L21 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 4 ACCESSION NUMBER: 2006:1354313 HCAPLUS Full-text DOCUMENT NUMBER: 146:100732

TITLE: Preparation of crystalline N,N'-disubstituted

oxabispidines and their use as cardiovascular agents. INVENTOR(S): Juppo, Anne; Steele, Gerald

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 60pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.						KIND DATE			APPLICATION NO.						DATE			
WO	2006	1377	72		A1 20061228			WO 2006-SE692						20060612					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KN,	KΡ,	KR,		
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
		ΜZ,	NA,	NG,	ΝI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,	SC,	SD,		
		SE,	SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,		
		VC,	VN,	ZA,	ZM,	ZW													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM												
PRIORITY APPLN. INFO.:										SE 2	005-	1428		1	A 2	0050	520		
OTHER SO	OTHER SOURCE(S):						146:	1007	32										
ED Ent	ered	STN	: 2	8 De	c 20	06													
GI																			

DNHCO2R1 T

AB Crystalline material consisting essentially of title compds. [I; D = alkylene; R1 = (substituted) alkyl; R2 = H, halo, alkyl, OR5, ENR6R6; R3 = H, alkyl; R2R3 = 0; R4 = (substituted) Ph, pyridyl; R5 = H, alkyl, aryl(alkyl), heteroarvl(alkvl), etc.; R6 = H, alkvl, arvl(alkvl), heteroarvl(alkvl), C(:NH)NH2, etc.; R7 = H, alkyl, aryl(alkyl), etc.; A = bond, J, JNR10a, JO, JSO2NR10b, etc.; B = Z[[C(0)]aCH(R11a)]b, Z[C(0)]cNR11b, ZO, etc.; J =(substituted) (interrupted) alkylene; a, b, c = 0, 1; R10a, R10b = H, alkyl; R11a = H; R11b = H, alkyl; R4R11a, R4R11b = (interrupted) alkylene; with provisos, having a surface area of <0.7 m2/g], was prepared Thus, tert-Bu 2-[7-[(2S)-3-(4-cyanophenoxy)-2-hydroxypropy1]-9-oxo-3,7diazabicyclo[3.3.1]non-3-yl]ethylcarbamate (II) (preparation given) was recrystd. from diisopropyl ether/isopropanol (10:2 volume/volume) to give 91% crystalline II having a mean surface area of 0.1659 m2/g.

917904-13-3 917904-15-5 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministration; preparation of crystalline N,N'-disubstituted oxabispidines

and their use as cardiovascular agents) RN 917904-13-3 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 917904-15-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2003:972051 HCAPLUS Full-text

DOCUMENT NUMBER: 140:27752

TITLE: [Chloro(difluoromethoxy)phenyl]hydroxyacetylazetidinec

arboxamide derivative salts preparation as prodrugs INVENTOR(S): Ahlqvist, Matti; Bohlin, Martin; Inghardt, Tord;

Lundblad, Anita; Sigfridsson, Carl-Gustaf

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 108 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101957	A1	20031211	WO 2003-SE859	20030527

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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG	, SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA	, ZM,	ZW					
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	ΙT,	LU,	MC	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ	, GW,	ML,	MR,	ΝE,	SN,	TD,	TG
CA	2487	509			A1		2003	1211		CA	2003-	2487.	509		2	0030	527
AU	2003	2328			A1						2003-						
	2003		65		A						2003-						
	1513				A1					EΡ	2003-	7282	06		2	0030	527
EP	1513				B1		2009										
	R:										, IT,						PΤ,
			SI,	LT,							, TR,			EE,			
	1656				A						2003-					0030	
	2005				T						2004-					0030	
	5367				A						2003-					0030	
	5543				A						2003-					0030	
	2345	064			C2						2004-						
	4259				T						2003-					0030	
EP	2055				A1		2009				2009-					0030	
	R:										, ES,						ΙE,
				LU,							, SK,						
	2004				A		2005				2004-					0041	
	2004				A		2005				2004-					0041	
	2004				A		2005				2004-					0041	
	2005				A1		2005			US	2005-	5164	22		2	0050	520
	7273				B2		2007										
	2007						2007				2007-					0070	
	2008				A1		2008	1030			2007-					0070	
PRIORIT:	APP:	LN.	INFO	. :							2002-					0020	
											2003-			- 1	A3 2	0030	527
											2003-						
											2003-					0030	
											2004-						
										US	2005-	5164	22		A1 2	0050	520

OTHER SOURCE(S): MARPAT 140:27752 ED Entered STN: 14 Dec 2003

GI

AB There is provided pharmaceutically-acceptable acid addition salts of compds. of such as I. I was prepared along with two other similar compds. Salts of I prepared include the ethanesulfonate and benzenesulfonate. The salts are useful as prodrugs of competitive inhibitors of trypsin-like proteases, such

as thrombin, and thus, in particular, in the treatment of conditions where inhibition of thrombin is required (e.g. thrombosis) or as anticoagulants.

IT 433937-93-0P 433938-09-1P 433938-32-0P

433938-43-3P 433939-99-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

([chloro(difluoromethoxy)phenyl]hydroxyacetylazetidinecarboxamide derivative salts preparation as prodrugs)

RN 433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl|-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-09-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-32-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4limino (methoxyamino)methyl]behavllmethyl]-, (2S)- (CA INDEX NAME)

- RN 433938-43-3 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

~c1

- RN 433939-99-2 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino]methyl]-3,5-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

~c1

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631916-71-7P 631916-73-9P 631916-75-1P
     631916-76-2P 631916-77-3P 631916-79-5P
     631916-81-9P 631916-83-1P 631916-91-1P
     631916-97-7P 631917-18-5P 631917-19-6P
     631917-20-9P 631917-21-0P 631917-22-1P
     631917-23-2P 631917-24-3P 631917-25-4P
     631917-27-6P 631917-28-7P 631917-29-8P
     631917-30-1P 631917-45-8P 633315-91-0P
     633315-92-1P 633315-93-2P 633315-95-4P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        ([chloro(difluoromethoxy)phenyl]hydroxyacetylazetidinecarboxamide
        derivative salts preparation as prodrugs)
RN
    631916-71-7 HCAPLUS
CN
    Bicvclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
    (1S, 4R)-, compd. with (2S)-1-[(2R)-[3-chloro-5-
     (difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-
     [imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)
     (9CI) (CA INDEX NAME)
     CM
     CRN 433937-93-0
     CMF C22 H23 C1 F2 N4 O5
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CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

631916-73-9 HCAPLUS

Sulfamic acid, cyclohexyl-, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 100-88-9 CMF C6 H13 N O3 S

RN 631916-75-1 HCAPLUS

CN Phosphoric acid, dimethyl ester, compd. with (25)-1-[(2R)-[3-chloro-5-(difluoromethoxylphenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 813-78-5 CMF C2 H7 O4 P

RN 631916-76-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 631916-77-3 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(diffuoromethoxy)phenyl|hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-79-5 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1), monohydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1

CMF C6 H14 N2 O2

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 631916-83-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (25) (CA INDEX NAME)

● HCl

RN 631916-91-1 HCAPLUS

CN Ethanesulfonic acid, (28)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)
(CA INDEX NAME)

CM :

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 594-45-6

CMF C2 H6 O3 S

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzensulfonate (1:1) (CA INDEX NAME)

CM :

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 631917-18-5 HCAPLUS

CN 1-Propanesulfonic acid, (2S)-compd. with
1-((2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM

CRN 5284-66-2 CMF C3 H8 O3 S

631917-19-6 HCAPLUS RN

CN 1-Butanesulfonic acid, (2S)-compd. with

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0 Absolute stereochemistry.

CMF C22 H23 C1 F2 N4 O5

CM

CRN 2386-47-2

CMF C4 H10 O3 S

RN 631917-20-9 HCAPLUS

CN Ethanesulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM :

CRN 594-45-6 CMF C2 H6 O3 S

RN 631917-21-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 631917-22-1 HCAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 100-88-9 CMF C6 H13 N O3 S

NH-SO3H

RN 631917-23-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9

CMF H2 O4 S

RN 631917-24-3 HCAPLUS

 ${\tt CN} \qquad 2-{\tt Azetidine carboxamide,} \quad 1-\texttt{[(2R)-2-[3-chloro-5-(difluoromethoxy)pheny1]-2-(2R)} \\$

hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry.

HBr

RN 631917-25-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-,

4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 631917-27-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9

CMF H2 O4 S

RN 631917-28-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)pheny1]-2hydroxyacety1]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1)
(CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

- RN 631917-29-8 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7697-37-2 CMF H N O3



RN 631917-30-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S)-(CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 631917-45-8 HCAPLUS

CN 1,5-Naphthalenedisulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CRN 433937-93-0 Absolute stereochemistry.

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 633315-93-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide, sodium salt (1:1:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 128-44-9

CMF C7 H5 N O3 S . Na

Na

RN 633315-95-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, mononaphthalenesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 25155-19-5 CMF C10 H8 O3 S

CCI IDS



D1-S03H

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2003:972050 HCAPLUS Full-text

DOCUMENT NUMBER: 140:27751

TITLE: Preparation of azetidinylbenzamidines and related compounds for combination therapy of arrhythmia or coaquilation controlled complications thereof.

INVENTOR(S): Roth-Rosendahl, Ann-Charlotte; Svernhage, Elisabeth
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.				DATE				
WO 2003101956				A1 20031:		1211	WO 2003-SE854				20030527						
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
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									AU 2003-232711								
								BR 2003-11138									
EP	1513807			A1	1 20050316			EP 2003-756136				20030527					
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		1656066							17 CN 2003-811734								
									JP 2004-509650								
NO									NO 2004-4673					20041028			
ZA	2004008787								ZA 2004-8787								
IN	2004DN03380								IN 2004-DN3380								
MX	2004011910			A		20050331 MX 2004-11910					20041129						

US 20060052314	A1	20060309	US :	2005-516426		20050628
PRIORITY APPLN. INFO.:			SE :	2002-1662	Α	20020531
			WO :	2003-SE854	W	20030527

ED Entered STN: 14 Dec 2003

GI

AB A combination product comprising: (a) a compound of claim 1 in W0 02/44145 or a pharmaceutically-acceptable derivative thereof; and (b) (1) a compound as defined in claim 1 of W0 01/28992 or (2) a compound of Claim 34 of W0 01/28992 or (3) Compound A [4-[3-[7-(3,3-dimethyl-2-oxobutyl)-9-oxa-3,7-diazabicyclo[3,3.1]non-3-yl]etoyl]-9-oxa-3,7-diazabicyclo[3,3.1]non-3-yl]ethylcarbamate] or C [tert-Bu 2-[7-[4-(4-cyanophenyl)]butyl]-9-oxa-3,7-diazabicyclo[3,3.1]non-3-yl]ethylcarbamate] or D [tert-Bu 2-[7-[4-(2)a-oxa-3,7-diazabicyclo[3,3.1]non-3-yl]ethylcarbamate] or D [tert-Bu 2-[7-[(2S)-3-(4-cyanophenoxy)-2-hydroxypropyl]-9-oxa-3,7-diazabicyclo[3,3.1]non-3-yl]ethylcarbamate] or pharmaceutically acceptable salts thereof in admixt. with a pharmaceutically acceptable adjuvant, diluent or carrier, is claimed. Thus, title compound (I) (multistep preparation given) showed an IC50 TI value of 6.0.02 uM.

T 433937-78-1 433937-98-5 433938-01-3

433938-04-6 433938-07-9 433938-10-4 433938-13-7 433938-16-0 433938-18-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination therapy; preparation of azetidinylbenzamidines and related compds. for combination therapy of arrhythmia or coagulation controlled

complications thereof) RN 433937-78-1 HCAPLUS

CN 2-Aretidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2,2,2-trifluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-01-3 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-04-6 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433938-07-9 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-10-4 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-13-7 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-(difluoromethoxy)-5-fluorophenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

RN 433938-16-0 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-18-2 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

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1T 433937-72-5P 433937-73-6P 433937-74-7P
433937-76-9P 433937-71-0P 433937-79-2P
433937-80-5P 433937-81-6P 433937-93-0P
433937-99-6P 433938-00-2P 433938-02-4P
433938-03-5P 433938-05-7P 433938-06-8P
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433938-08-0P 433938-09-1P 433938-11-5P
433938-12-6P 433938-14-8P 433938-15-9P
433938-17-1P 433938-19-3P 433938-20-6P
433938-31-3P 433938-22-8P 433938-30-8P
433938-31-3P 433938-32-0P 433938-33-1P
433938-34-2P 433938-35-3P 433938-36-4P
433938-37-3P 433938-35-3P 433938-56-4P
433938-55-5P 433938-51-3P 433938-55-7P
433938-55-1P 433938-51-3P 433938-58-0P
433938-55-1P 433938-60-4P 433938-61-5P
433938-55-1P 433938-60-4P 433938-61-5P
433938-52-6P
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of azetidinylbenzamidines and related compds. for combination therapy of arrhythmia or coagulation controlled complications thereof) 433937-72-5 HCAPLUS

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[(loyclobutyloxy)amino]iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN

CN

RN 433937-73-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433937-76-9 HCAPLUS
- CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(diffuoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, cyclopentyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 433937-77-0 HCAPLUS
- CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 433937-79-2 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-78-1

CMF C21 H20 C1 F3 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

- RN 433937-80-5 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433937-81-6 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[minol](5-methyl-3isoxazolyl)methoxy|amino|methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433937-93-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

- RN 433937-99-6 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-

CM 1

CRN 433937-98-5

CMF C22 H22 C1 F3 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433938-00-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2,2-trifluoroethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]-yhetyl]-, (2S)- (CA INDEX NAME)

RN 433938-02-4 HCAPLUS

CN 2-Azetidineoarboxamide, N=[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM :

CRN 433938-01-3

CMF C22 H23 C1 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433938-03-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

RN 433938-05-7 HCAPLUS

CM 1

CRN 433938-04-6 CMF C21 H22 C1 F N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433938-06-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

RN 433938-08-0 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-07-9

CMF C22 H24 C1 F N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

- RN 433938-09-1 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-11-5 HCAPLUS

2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-CN [3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-10-4

CMF C23 H25 C1 F2 N4 O4

Absolute stereochemistry.

CM

CRN 76-05-1

CMF C2 H F3 O2

433938-12-6 HCAPLUS RN

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-[2-fluoro-1-CN

(fluoromethyl)ethoxy]phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-14-8 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2[3-(difluoromethoxy)-5-fluorophenyl]-2-nydroxyacetyl]-, (2S)-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 433938-13-7 CMF C21 H21 F3 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433938-15-9 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-(difluoromethoxy)-5-fluorophenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-17-1 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-16-0

CMF C21 H22 Br F N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 433938-19-3 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate [1:1] (CA INDEX NAME)

CM 1

CRN 433938-18-2

CMF C21 H21 Br F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 433938-20-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-bromo-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

RN 433938-21-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-22-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-30-8 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]-1[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA
INDEX NAME)

Absolute stereochemistry.

RN 433938-31-9 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,6-difluorophenyl]methyl]-1-(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-32-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433938-33-1 HCAPLUS
- $\begin{tabular}{ll} $\mathbb{C}N$ & $2-$Azetidinecarboxamide, $N-[[4-(aminoiminomethyl)-2,5-difluorophenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-difluoromethoxy] $\mathbb{C}N$ & $\mathbb{C}N$ &$

hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-34-2 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,5-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-35-3 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(ethoxyamino)iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(propoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-37-5 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-{(2R)-2-{3-chloro-5-(difluoromethoxy)phenyl}-2hydroxyacetyl]-N-{!4-{imino{(1-methylethoxy)amino|methyl|phenyl|methyl}-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-51-3 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[minof(3-pyridinylmethoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433938-52-4 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[mino](2-methylpropoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-53-5 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(ethoxyamino)iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-54-6 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[4-[mino](phenylmethoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Page 217 of 381

- RN 433938-55-7 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[[(cyclohexyloxy)amino]iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433938-56-8 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(cyclobutyloxy)aminojiminomethyl]phenyl]methyl]-, (28))- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-57-9 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino[[2-[3-

(trifluoromethyl)phenoxy]ethoxy]amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433938-58-0 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-[[[(4chloropheny]]methoxy] amino]iminomethyl]phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433938-59-1 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-{(2R)-2-{3-chloro-5-(trifluoromethoxy)phenyl}-2hydroxyacetyl}-N-{[4-{imino{[(3methoxyphenyl]methoxy}amino|methyl]phenyl]methyl}-, (2S)- (CA INDEX NAME)

Page 219 of 381

- RN 433938-60-4 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-[[[(2bromophenyl]methoxy] mino]minomethyl]phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433938-61-5 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino][(4-methylphenyl]methoxy]amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-62-6 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[mino](1-propylbutoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

433938-43-3P 433938-50-2P 433938-88-6P

433938-96-6P 433939-08-3P 433939-18-5P
433939-26-5P 433939-38-9F 433939-47-0P
433939-55-0P 433939-57-2P 433939-58-3P
433959-95-2P 433930-15-9P
R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azetidinylbenzamidines and related compds. for combination therapy of arrhythmia or coagulation controlled complications thereof)
RN 433938-43-3 BCAPLUS
CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl[carbonyl]amino|methyl]phenyl[minomethyl]-,
2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

~c1

RN 433938-50-2 HCAPLUS

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-

(trifluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

- RN 433938-88-6 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2,2,2trifluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

-c1

- RN 433938-96-6 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2,2-difluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

- RN 433939-08-3 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(fluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

~c1

RN 43393-18-5 HCAPLUS
CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2-fluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino[methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl setter (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

- RN 433939-26-5 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-[2-fluoro-1fluoromethyl)ethoxy]phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

- RN 433939-38-9 HCAPLUS
- CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-(difluoromethoxy)-5fluorophenyl]hydroxyacety]]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

- F

RN 433939-47-0 HCAPLUS
CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-bromo-5-(fluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl[carbonyl]amino[methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl setter (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

∕Br

RN 433939-55-0 HCAPLUS

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-bromo-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

∕Br

RN 433939-57-2 HCAPLUS

CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(2,2-difluoroethoxy)phenyl]hydroxyacetyl]-2-azetidinyl[carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2-fluoroethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 433939-99-2 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino|methyl]-3,5-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

~c1

RN 433940-15-9 HCAPLUS

CN Carbamic acid, [[4-[[[1](2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino|methyl]-2,5-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

~c1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 2003:971865 HCAPLUS Full-text DOCUMENT NUMBER: 140:31486

TITLE: Modified-release pharmaceutical formulation containing

cardiovascular agents INVENTOR(S):

Magnusson, Anders; Thune, Mikael PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIN	D DAT	Ε	1	APPL:	ICAT:	DATE					
WO 2003101424	A1	A1 20031211			WO 20	003-	20030527					
W: AE, AG	, AL, AM,	AT, AU	, AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
CO, CR	, CU, CZ,	DE, DK	, DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
GM, HR	, HU, ID,	IL, IN	, IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
LS, LT	, LU, LV,	MA, MD	, MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
PH, PL	, PT, RO,	RU, SC	, SD,	SE,	SG,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,
TZ, UA	, UG, US,	UZ, VC	, VN,	YU,	ZA,	ZM,	ZW					
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CA	24855	35			A1 20031211					CA 2003-2485535						20030527			
AU	20032		A1		AU 2003-232870						20030527								
EP	15134		A1	20050316			EP 2003-728205						20030527						
	R: 3	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT	, LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR	, BG,	CZ,	EE,	HU,	SK			
BR	BR 2003011460				A		BR 2003-11460						20030527						
CN	N 1655761 N 100402025 P 2005536472 Z 536621 Z 549176			A	20050817			(CN 2003-812492					20030527					
CN	100402025			С															
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NZ	549176			A	20071221 NZ 2003						-5491	2	20030527						
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ZA	2004009234			A 20050712			2												
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US	7202236			B2		2007	0410												
IN	2006DN06241			A	A 20070831										0061	025			
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PRIORITY APPLN. INFO.:											-1659								
									(CN	2003	-8124	92		A3 2	0030	527		
									1	ΙZ	2003	-5366	21		A3 2	0030	527		
												-SE85							
									1	ΕN	2004	-DN34	15		A3 2	0041	103		
									Ţ	JS	2004	-5164	20		A1 2	0041	129		

OTHER SOURCE(S): MARPAT 140:31486

ED Entered STN: 14 Dec 2003

GI

AB Disclosed is a modified-release pharmaceutical composition comprising, as active ingredient, a compound of formula I (R I = C1-2 alkyl substituted by one or more fluoro substituents; R2 = H, OH, OMe, OEt; and n = 0-2) or a pharmaceutically acceptable salt thereof; and a pharmaceutically acceptable diluent or carrier. The formulation may only contain 1-carrageenan and a neutral gelling polymer when the compound of formula I is in the form of a salt; such formulations being of use for the treatment of a cardiovascular disorder. A compound Ph(3-C1) (5-OCHF2)-(R)-CH(OH)C(O)-(S)-Aze-Pab(OMe) esylate salt was prepared, its 50.5 mg was combined with hydroxypropyl Me cellulose 200, and sodium stearyl fumarate 2.5 mg to obtain a modified-release tablets.

I

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631916-71-7P 631916-72-8P 631916-73-9P
     631916-74-0P 631916-75-1P 631916-76-2P
     631916-77-3P 631916-79-5P 631916-80-8P
     631916-81-9P 631916-83-1P 631916-85-3P
     631916-86-4P 631916-87-5P 631916-89-7P
     631916-91-1P 631916-92-2P 631916-93-3P
     631916-94-4P 631916-95-5P 631916-96-6P
     631916-97-7P 631916-98-8P 631917-01-6P
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     631917-11-8P 631917-13-0P 631917-15-2P
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     631917-22-1P 631917-23-2P 631917-24-3P
     631917-25-4P 631917-26-5P 631917-27-6P
     631917-28-7P 631917-29-8P 631917-30-1P
     631917-31-2P 631917-32-3P 631917-33-4P
     631917-34-5P 631917-35-6P 631917-36-7P
     631917-37-8P 631917-39-0P 631917-40-3P
     631917-42-5P 631917-43-6P 631917-44-7P
     631917-45-8P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (modified-release pharmaceutical formulation containing cardiovascular
        agents)
    631916-71-7 HCAPLUS
RN
     Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
CN
     (1S, 4R)-, compd. with (2S)-1-[(2R)-[3-chloro-5-
     (difluoromethoxy)phenyl|hydroxyacetyl|-N-[[4-
     [imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)
     (9CI) (CA INDEX NAME)
     CM
          1
     CRN 433937-93-0
     CMF C22 H23 C1 F2 N4 O5
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Absolute stereochemistry.

CM 2 CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

RN 631916-72-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2Z)-2-butenedioate (1:1) (sait) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2 CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 631916-73-9 HCAPLUS
CN Sulfamic acid, cyclohexyl-, compd. with
(28)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)
(9CI) (CA INDEX NAME)
CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 100-88-9 CMF C6 H13 N O3 S

RN 631916-74-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, phosphate (1:1) (salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

RN 631916-75-1 HCAPLUS

CN Phosphoric acid, dimethyl ester, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxyl)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

RN 631916-76-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-,

4-methylbenzenesulfonate (1:1) (CA INDEX NAME)
CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 631916-77-3 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl)hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 56-87-1

CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-79-5 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1), monohydrochloride (9CI) (CA INDEX NAME)

CM :

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1

CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-80-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 81-07-2

CMF C7 H5 N O3 S

RN 631916-81-9 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 631916-83-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[4-[mino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S) (CA INDEX NAME)

Absolute stereochemistry.

● HC1

RN 631916-85-3 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-[difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (25)- (CA INDEX NAME)

Absolute stereochemistry.

HBr

RN 631916-86-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[mino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9 CMF H2 O4 S

CPI .

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 110-04-3 CMF C2 H6 O6 S2

HO3S-CH2-CH2-SO3H

RN 631916-89-7 HCAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

CM C22 H25 CI 12 N4 05

CM 2

CRN 5872-08-2 CMF C10 H16 O4 S

RN 631916-91-1 HCAPLUS

CN Ethanesulfonic acid, (2S)-compd. with

 $1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[\{a-[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)$

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM

CRN 594-45-6

CMF C2 H6 O3 S

RN 631916-92-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, nitrate (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7697-37-2

CMF H N O3

RN 631916-93-3 HCAPLUS

CN Benzenesulfonic acid, 2,5-dimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 609-54-1 CMF C8 H10 O3 S

RN 631916-94-4 HCAPLUS

CN Benzenesulfonic acid, 2,4,6-trimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 3453-83-6 CMF C9 H12 O3 S

RN 631916-95-5 HCAPLUS

CN 1,5-Naphthalenedisulfonic acid, (2S)-compd. with

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM

CRN 81-04-9 CMF C10 H8 O6 S2

RN 631916-96-6 HCAPLUS

CN Naphthalenesulfonic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

 $\label{eq:continuous} \begin{tabular}{ll} [imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME) \end{tabular}$

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 25155-19-5

CMF C10 H8 O3 S

CCI IDS

D1-S03H

RN 631916-97-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 631916-98-8 HCAPLUS

CN D-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(diffuoromethoxy)phenyl|hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM

CRN 6893-26-1

CMF C5 H9 N O4

Absolute stereochemistry.

- RN 631917-01-6 HCAPLUS
- CN L-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)pheny]]hydroxyacety]]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-86-0 CMF C5 H9 N O4

Absolute stereochemistry.

- RN 631917-03-8 HCAPLUS
- CN Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl|hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 617-65-2 CMF C5 H9 N O4

NH2 HO2C—CH—CH2—CH2—CO2H

RN 631917-04-9 HCAPLUS

CN L-Arginine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(9CI) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

RN 631917-05-0 HCAPLUS CN Glycine, compd. with (2S)-1-[(2R)-[3-chloro-5-

(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-40-6 CMF C2 H5 N O2

HO_U_CH?_NH?

RN 631917-06-1 HCAPLUS

IN Benzoic acid, 2-hydroxy-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 69-72-7 CMF C7 H6 O3

RN 631917-07-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-,

(2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 631917-09-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 631917-11-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyll-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 77-92-9 CMF C6 H8 07

RN 631917-13-0 HCAPLUS

CN Butanedioic acid, 2-hydroxy-, (2S)-(2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 97-67-6

CMF C4 H6 O5

Absolute stereochemistry. Rotation (-).

RN 631917-15-2 HCAPLUS

CN Butanedioic acid, 2-hydroxy-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM :

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 6915-15-7

CMF C4 H6 O5

RN 631917-17-4 HCAPLUS

D-Gluconic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 526-95-4 CMF C6 H12 O7

Absolute stereochemistry.

RN 631917-20-9 HCAPLUS

CN Ethanesulfonic acid, (2S)-compd. with 1-{(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[{2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 594-45-6 CMF C2 H6 O3 S

RN 631917-21-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(2,6-difluoro-4liming/methoxymina)methyllphonyllmethyllmethyllmethyllmethyllmethyllmethyllmethyllm

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1)
(CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

RN 631917-22-1 HCAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 100-88-9

- RN 631917-23-2 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 631917-24-3 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (2S)- (CA INDEX NAME)

● HBr

RN 631917-25-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-,
4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM :

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 104-15-4

CMF C7 H8 O3 S

RN 631917-26-5 HCAPLUS

CN 2-Naphthalenesulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM :

CRN 120-18-3 CMF C10 H8 O3 S

RN 631917-27-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 631917-28-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4limic/methoxymiolynthyl]phonyl-metholl- (2C)- methonocylfonate (11)

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 75-75-2

CMF C H4 O3 S

RN 631917-29-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4limino(methoxyamino)methyl]behavllmethyl]-, (2S)-, nitrate (1:1) (CA

INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7697-37-2

CMF H N O3



RN 631917-30-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S)-(CA INDEX NAME)

● HCl

RN 631917-31-2 HCAPLUS

CN 1,2-Ethanedisulfonic acid, (2S)-compd. with

1-{(2R)-2-[3-chloro-5-(difluoromethoxyl)phenyl]-2-hydroxyacetyl]-N-[{2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 Cl F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 110-04-3

CMF C2 H6 O6 S2

H038-CH2-CH2-S03H

RN 631917-32-3 HCAPLUS

CN Bicyclo[2.2.1]heptane-l-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (18,4R)-, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

RN 631917-33-4 HCAPLUS

CN Bicyclo[2,2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (28)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-| in low furth year in a methyl l-2-area tidine across and (1).

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 5872-08-2 CMF C10 H16 O4 S

RN 631917-34-5 HCAPLUS

CN Benzenesulfonic acid, 2,5-dimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl]-N-[[2,6-chloro-5-(difluoromethoxy]phenyl]-2-hydroxyacetyl-2-hydroxyacetyl-2-hydroxyacetyl-2-hydroxyacetyl-2-hydroxyacetyl-2-hydroxyacetyl-2-hydroxyacetyl

difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 609-54-1

CMF C8 H10 O3 S

RN 631917-35-6 HCAPLUS

CN Benzenesulfonic acid, 2,4,6-trimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy) phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino (methoxyamino) methyl] phenyl] methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 3453-83-6

CMF C9 H12 O3 S

RN 631917-36-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 81-07-2 CMF C7 H5 N O3 S

RN 631917-37-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM :

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 631917-39-0 HCAPLUS CN 2-Azetidinecarboxamic

N 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, phosphate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-38-2

CMF H3 O4 P

RN 631917-40-3 HCAPLUS

CN D-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 6893-26-1 CMF C5 H9 N O4

Absolute stereochemistry.

RN 631917-42-5 HCAPLUS

CN L-Arginine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

Absolute stereochemistry.

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

RN 631917-43-6 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-

(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631917-44-7 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1), monohydrochloride (9GI) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

631917-45-8 HCAPLUS RN

CN 1,5-Naphthalenedisulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2azetidinecarboxamide (1:2) (CA INDEX NAME)

CM

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 81-04-9 CMF C10 H8 O6 S2

RN

ΙT 433937-93-0 433938-09-1 433938-32-0 631917-18-5 631917-19-6 631917-46-9

631917-47-0 631917-48-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (modified-release pharmaceutical formulation containing cardiovascular agents)

433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

RN 433938-09-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (28)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-32-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(2,6-difluoro-4-[imino(methoxyamino)methyl)phenyl)methyl]-, (2S)- (CA INDEX NAME)

- RN 631917-18-5 HCAPLUS
- CN 1-Propanesulfonic acid, (2S)-compd. with
 - $1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[\{4-[mino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)$

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 5284-66-2 CMF C3 H8 O3 S

RN 631917-19-6 HCAPLUS

CN 1-Butanesulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 2386-47-2 CMF C4 H10 O3 S

RN 631917-46-9 HCAPLUS

CN 1-Propanesulfonic acid, (25)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

azetidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 5284-66-2

CMF C3 H8 O3 S

RN 631917-47-0 HCAPLUS

CN 1-Butanesulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6difluoro-4-[imino(methoxvamino)methyl]phenyl]methyl]-2azetidinecarboxamide (1:1) (CA INDEX NAME)

CM - 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 2386-47-2

CMF C4 H10 O3 S

RN 631917-48-1 HCAPLUS

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-09-1 CMF C23 H26 C1 F N4 O5

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 8

ACCESSION NUMBER: 2003:971864 HCAPLUS Full-text

DOCUMENT NUMBER: 140:31485

TITLE: Immediate-release pharmaceutical formulation of amidine compounds

INVENTOR(S): Abrahmsen Alami, Susanna; Inghardt, Tord; Magnusson,

Anders; Sigfridsson, Carl-Gustaf; Thune, Mikael

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2003101423 A1 20031211 WO 2003-SE857 20030527

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,

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PRIORITY APPLN. INFO.:
                                           SE 2002-1658
                                                              A 20020531
                                           NZ 2003-536739
                                                              A3 20030527
                                                              W 20030527
                                           WO 2003-SE857
                                           IN 2004-DN3468
                                                              A3 20041108
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OTHER SOURCE(S): MARPAT 140:31485

ED Entered STN: 14 Dec 2003

GI

AB An immediate-release pharmaceutical formulation is provided comprising (a) as active ingredient, a compound of formula I (R1 = C1-2 alkyl substituted by one or more fluoro substituents; R2 = H, OH, OMe, OEt; n = 0, 1, 2) or a pharmaceutically acceptable salt thereof; and (b) a pharmaceutically acceptable diluent or carrier. When the active ingredient is other than in the form of a salt, the formulation does not solely contain (i) a solution of one active ingredient and water, (ii) a solution of one active ingredient and DMSO, or (iii) a solution of one active ingredient in a mixture of ethanol/PEG 660 12-hydroxy stearate/water (5:5:90). Such formulations are used for the treatment of a cardiovascular disorder. For example, a solution was prepared by dissolving Compound A [I (R1 = CHF2, R2 = OMe, n = 0) (preparation given)] in a hydroxypropyl-β-cyclodextrin/water diluent (40:60 weight/weight%) (136 µmol Compound A to 1 mL diluent) and adjusting pH to 3.7 with HCl. The solubility of Compound A was at least 700 times higher in this vehicle compared to water alone.

I

IT 433937-73-6P 433937-74-7P 433937-93-0DP, salts with saccharinic acid 433937-93-0P 433938-07-9P

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433938-09-1P 433938-21-7P 433938-22-8P
433938-31-9P 433938-32-0P 631916-71-7P
631916-72-8P 631916-73-9P 631916-74-0P
631916-75-1P 631916-76-2P 631916-77-3P
631916-79-5P 631916-80-8P 631916-81-9P
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631917-32-3P 631917-33-4P 631917-34-5P
631917-35-6P 631917-36-7P 631917-37-8P
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634151-54-5P 634151-59-0P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation and immediate-release formulation of amidine compds. for
   treatment of thrombosis)
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RM 433937-73-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433937-74-7 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenvl]-2-hvdroxyacetvl]-, (2S)- (CA INDEX NAME)

RN 433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-{(2R)-2-{3-chloro-5-(difluoromethoxy)phenyl}-2hydroxyacetyl]-N-[[4-{imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-07-9 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME) Absolute stereochemistry.

RN 433938-09-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-21-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]2-hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-22-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-

(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-31-9 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,6-difluorophenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-32-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

CN Bicyclo[2.2.1]heptane-l-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (15,4R)-, compd. with (2S)-l-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 05

Absolute stereochemistry.

CM 2

CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

RN 631916-72-8 HCAPLUS CN 2-Azetidinecarboxamic

N 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5 (difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2Z)-2-butenedioate
 (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 631916-73-9 HCAPLUS CN Sulfamic acid, cyclohexyl-

Sulfamic acid, cyclohexyl-, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 100-88-9

CMF C6 H13 N O3 S

RN 631916-74-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, phosphate (1:1) (salt)

(9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

CN

RN 631916-75-1 HCAPLUS

Phosphoric acid, dimethyl ester, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (SCI) (CA INDEX NAME)

CM 1

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 813-78-5 CMF C2 H7 O4 P

RN 631916-76-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

RN 631916-77-3 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-79-5 HCAPLUS

CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacety]]-M-[[4-[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1),

monohydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631916-80-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM 2

CRN 81-07-2 CMF C7 H5 N O3 S

RN 631916-81-9 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 631916-83-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 631916-85-3 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (2S)- (CA INDEX NAME)

Serial No.:10/516,423

RN 631916-86-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 631916-89-7 HCAPLUS

Dicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (25)-compd. with 1-{(2R)-2-|3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 5872-08-2 CMF C10 H16 O4 S

RN 631916-91-1 HCAPLUS

CN Ethanesulfonic acid, (2S)-compd. with

 $1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[\{a-[imino (methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)$

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM

CRN 594-45-6

CMF C2 H6 O3 S

RN 631916-92-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, nitrate (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 7697-37-2

CMF H N O3

RN 631916-93-3 HCAPLUS

CN Benzenesulfonic acid, 2,5-dimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 609-54-1 CMF C8 H10 O3 S

RN 631916-94-4 HCAPLUS

CN Benzenesulfonic acid, 2,4,6-trimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 3453-83-6 CMF C9 H12 O3 S

RN 631916-95-5 HCAPLUS

CN 1,5-Naphthalenedisulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM

CRN 81-04-9 CMF C10 H8 O6 S2

CMF CIU H8 U6 S

RN 631916-97-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-,

Serial No.:10/516,423

benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 631916-98-8 HCAPLUS

CN D-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(diffuoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Serial No.:10/516,423

CM 2

CRN 6893-26-1 CMF C5 H9 N O4

Absolute stereochemistry.

RN 631917-01-6 HCAPLUS

CN L-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(diffuoromethoxy)phenyl|hydroxyacetyl|-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-86-0

CMF C5 H9 N O4

Absolute stereochemistry.

RN 631917-03-8 HCAPLUS

CN Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9C1) (CA INDEX NAME)

CM

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 617-65-2 CMF C5 H9 N O4

RN 631917-04-9 HCAPLUS

CN L-Arginine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

RN 631917-05-0 HCAPLUS

CN Glycine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1)

(9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

RN

CN

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CM 2
CRN 69-72-7
CMF C7 H6 O3
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RN 631917-07-2 HCAPLUS
CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-
(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-
[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-,
(2R, 3R)-2, 3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)
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CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 631917-09-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CM :

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 631917-11-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[mino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 77-92-9

CMF C6 H8 O7

RN 631917-13-0 HCAPLUS

CN Butanedioic acid, 2-hydroxy-, (2S)-(2S)-compd. with 1-{(2R)-2-|3-chloro-5-(difluoromethoxy)phenyl}-2-hydroxyacetyl}-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 97-67-6

CMF C4 H6 O5

Absolute stereochemistry. Rotation (-).

RN 631917-15-2 HCAPLUS

CN Butanedioic acid, 2-hydroxy-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM :

CRN 6915-15-7 CMF C4 H6 O5

он но2С—Сн—Сн2—Со2Н

RN 631917-17-4 HCAPLUS

CN D-Gluconic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM 2

CRN 526-95-4

CMF C6 H12 O7

Absolute stereochemistry.

RN 631917-18-5 HCAPLUS

CN 1-Propanesulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM

CRN 5284-66-2

CMF C3 H8 O3 S

RN 631917-19-6 HCAPLUS

CN 1-Butanesulfonic acid, (2S)-compd. with 1-{(2R)-2-|3-chloro-5-(difluoromethoxy)phenyl}-2-hydroxyacetyl}-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CRN 433937-93-0 CMF C22 H23 C1 F2 N4 O5

Absolute stereochemistry.

CM

CRN 2386-47-2 CMF C4 H10 O3 S

$$\begin{array}{c} \circ \\ \text{Ho} \\ = \circ \\ \text{S-ch}_2 - \text{ch}_2 - \text{ch}_2 - \text{ch}_2 - \text{ch}_3 \end{array}$$

RN 631917-20-9 HCAPLUS

CN Ethanesulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy)pheny1]-2-hydroxyacety1]-N-[[2,6-difluoro-4-[imino(methoxyamino)methy1]pheny1]methy1]-2-

azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

RN 631917-21-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, benzenesulfonate (1:1)

(CA INDEX NAME)

CM 1

RN 631917-22-1 HCAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with (25)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM :

CRN 100-88-9 CMF C6 H13 N O3 S

RN 631917-23-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

CRN 7664-93-9 CMF H2 O4 S

- RN 631917-24-3 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4[imino(methoxyamino)methyl]phenyl]methyl]-, hydrobromide (1:1), (2S)- (CA
 INDEX NAME)

Absolute stereochemistry.

RN 631917-25-4 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 104-15-4 CMF C7 H8 O3 S

RN 631917-26-5 HCAPLUS

2-Naphthalenesulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CN

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CRN 120-18-3 CMF C10 H8 O3 S

S03H

RN 631917-27-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-93-9

CMF H2 O4 S

RN 631917-28-7 HCAPLUS

 ${\tt CN} \qquad 2-{\tt Azetidine carboxamide,} \ 1-\texttt{[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-(2R)} \\$

Serial No.:10/516,423

CM 1

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM :

CRN 75-75-2 CMF C H4 O3 S

RN 631917-29-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CRN 7697-37-2 CMF H N O3



RN 631917-30-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, hydrochloride (1:1), (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 631917-31-2 HCAPLUS

CN 1,2-Ethanedisulfonic acid, (2S)-compd. with

1-[(2R)-2-[3-chloro-5-(difluoromethoxy) phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino (methoxyamino) methyl] phenyl]methyl]-2azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

Serial No.:10/516,423

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 110-04-3 CMF C2 H6 O6 S2

HO3S-CH2-CH2-SO3H

RN 631917-32-3 HCAPLUS

CN Bicyclo[2.2.1]heptane-l-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S,4R)-, compd. with (2S)-l-[(2R)-[3-chloro-5- (difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4- [imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

RN 631917-33-4 HCAPLUS

CN Bicyclo[2.2.1]heptane=1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 5872-08-2 CMF C10 H16 O4 S

Serial No.:10/516,423

CN Benzenesulfonic acid, 2,5-dimethyl-, (2S)-compd. with 1-{(2R)-2-[3-chloro-5-(difluoromethoxylphenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 609-54-1 CMF C8 H10 O3 S

RN 631917-35-6 HCAPLUS

Benzenesulfonic acid, 2,4,6-trimethyl-, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Serial No.:10/516,423

CM 2

CRN 3453-83-6 CMF C9 H12 O3 S

RN 631917-36-7 HCAPLUS

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM

CRN 81-07-2

CMF C7 H5 N O3 S

Absolute stereochemistry.

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 631917-39-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl|hydroxyacetyl|-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, phosphate (1:1) (salt) (9CI) (CA INDEX NAME)

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CM 1
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CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 7664-38-2

CMF H3 O4 P

RN 631917-40-3 HCAPLUS

CN D-Glutamic acid, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

CRN 6893-26-1 CMF C5 H9 N O4

Absolute stereochemistry.

RN 631917-42-5 HCAPLUS

CN L-Arginine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.

- RN 631917-43-6 HCAPLUS
- CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl|hydroxyacetyl|-N-[12,6-difluoro-4-[imino(methoxyamino)methyl]phenyl|methyl|-2-azetidinecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

- RN 631917-44-7 HCAPLUS
- CN L-Lysine, compd. with (2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy) phenyl | hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino) methyl] phenyl] methyl]-2-azetidinecarboxamide (1:1), monohydrochloride (9CI) (CA INDEX NAME)

CRN 433938-32-0 CMF C22 H21 C1 F4 N4 O5

Absolute stereochemistry.

CM :

CRN 56-87-1 CMF C6 H14 N2 O2

Absolute stereochemistry.

RN 631917-45-8 HCAPLUS

CN 1,5-Naphthalenedisulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy) phenyl]-2-hydroxyacetyl]-N-[[2,6-difluoro-4-[imino (methoxyamino) methyl] phenyl] methyl]-2-azetidinecarboxamide (1:2) (CA INDEX NAME)

CM 1

CRN 433938-32-0

CMF C22 H21 C1 F4 N4 O5

RN 634151-54-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 634151-59-0 HCAPLUS

CN 1,2-Ethanedisulfonic acid, (2S)-compd. with 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-2-azetidinecarboxamide (1:2)
(CA INDEX NAME)

CM 1

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

CRN 110-04-3 CMF C2 H6 O6 S2

HO38-CH2-CH2-803H

IIT 433938-43-3P 433939-57-2P 433939-99-2P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation and immediate-release formulation of amidine compds. for treatment of thrombosis)

- RN 433938-43-3 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

~c1

RN 433939-57-2 HCAPLUS

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2,2difluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433939-99-2 HCAPLUS

CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino]methyl]3,5-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

~c1

IT 433937-75-8P 433938-08-0P 634151-60-3P

634151-61-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and immediate-release formulation of amidine compds. for treatment of thrombosis)

RN 433937-75-8 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-74-7

CMF C21 H21 C1 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

- RN 433938-08-0 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-

 $\begin{tabular}{ll} $[3-$chloro-5-(2-$fluoroethoxy)phenyl]-2-hydroxyacetyl]-, & (2S)-, & (2,2-$trifluoroacetate (1:1) & (CA INDEX NAME) \\ \end{tabular}$

CM 1

CRN 433938-07-9

CMF C22 H24 C1 F N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 634151-60-3 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,6difluorophenyl]methyl]-1-([2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-, acetate (1:1), (2S)- (CA INDEX NAME)

CM 1

CRN 433938-31-9

CMF C21 H19 C1 F4 N4 O4

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 634151-61-4 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, acetate (1:1), (2S)- (CA INDEX NAME)

CM 1

CRN 433937-74-7

CMF C21 H21 C1 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 64-19-7

CMF C2 H4 O2

HO_Û_CHA

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 9

ACCESSION NUMBER: 2003:5810 HCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 138:78457

TITLE: Oral pharmaceutical formulations containing

t-carrageenan and gelling polymers

INVENTOR(S): Gaik-Lim Khoo, Cynthia; Gustafsson, Helena

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
WO	2003000293				A1 20030103			WO 2002-SE1217					20020619					
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		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW	MX,	MZ,	NO,	NZ,	OM,	PH,	
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	NZ 530086				A				NZ 2002-530086 AT 2002-744027						20020619			
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					A1		2004	1202										
PRIORIT	Y APP	LN.	INFO	. :						SE	2001	-2069			A 2	0010	621	

Page 328 of 381

SE 2001-4049 A 20011130 SE 2002-1660 A 20020531 WO 2002-SE1217 W 20020619

FD Entered STN: 05 Jan 2003

AB An oral pharmaceutical formulation comprising 1-carrageenan, one or more neutral gelling polymers and a basic pharmaceutical inhibits the release of the active ingredient from the formulation at acidic pH. A process for the manufacture of the formulation and the use of the formulations are also disclosed. Tablets were obtained by the direct compression of H 376/95 (basic drug) 50.5, PEG 160.0, t-carrageenan 40.0, and sodium stearyl fumarate 2.5 mg. The release of H376/95 from blends with varying composition ratios of PEG and t-carrageenan was determined Blending different ratios of the anionic polymer, t-carrageenan and the neutral gelling polymer PEG, the release rate in media with different pH can be modified.

433937-77-0P 433938-43-3P 479621-07-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in amine-containing azetidine preparation; oral pharmaceutical formulations

containing 1-carrageenan and gelling polymers and basic drugs) 433937-77-0 HCAPLUS

RN

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-

(difluoromethoxy)phenyl]hydroxyacetyl]-2-

azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-43-3 HCAPLUS

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenvl]hvdroxyacetvl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

~c1

- RN 479621-07-3 HCAPLUS
- CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino]methyl]-2,6-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

433937-93-0P 433938-09-1F 433938-22-8P

479621-12-0P 479621-13-1F

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(oral pharmaceutical formulations containing \(\tau\)-carrageenan and gelling polymers and basic drugs)

RN 433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-09-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-22-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

RN 479621-12-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[3,5-difluoro-4-[imino(methoxyamino)methyl)phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 479621-13-1 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(fluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 10 ACCESSION NUMBER: $2002{:}428874 \quad \text{HCAPLUS} \quad \frac{\text{Full-text}}{\text{Extract}}$

DOCUMENT NUMBER: 137:20289

TITLE: New mandelic acid derivatives and their use as

thrombin inhibitors

INVENIOR(S): Inghardt, Tord; Johansson, Anders; Svensson, Arne
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
	2002044145				A1		2002									0011	
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA
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IN 2006DN07848	A	20070824	IN	2006-DN7848		20061222
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			SE	2001-965	A	20010319
			SE	2001-1239	A	20010406
			SE	2001-2921	A	20010830
			TW	2001-90129207	A	20011126
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			WO	2001-SE2657	W	20011130
				2002-SE1557	W	20020830
			IN	2003-DN780	A3	20030520
			US	2003-432411	A3	20030521
				2003-707353		20030531
				2006-520063		20060913
				2008-714402		20080613
OTUED COMBORION.	MADDAT	127.20200				

OTHER SOURCE(S): MARPAT 137:20289 ED Entered STN: 07 Jun 2002 GI

AB Mandelic acid derivs. I [R = substituted Ph; Rl = OH, CH2OH; X = C6H4, (di)azaphenylene; Y = CH2, CH2CH2] and pharmaceutically-acceptable prodrugs thereof, were prepd for use as competitive inhibitors of trypsin-like proteases, such as thrombin, or as anticoagulants. Thus, 3,5-C1(F2CH0)C6H3CH0 was prepared from 3,5-C12C6H3OHe and was converted to 3,5-C1(F2CH0)C6H3CH (OSIMS3)CN which was hydrolyzed and resolved with lipase to give (R)-3,5-C1(F2CH0)C6H3CH (OH1COZH). This acid was used to acylate the azetidine fragment and deblocked to give the amide (R)-II which had an IC50 <0.02 Mi in the thrombin clotting time test.

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433937-72-5P 433937-73-6P 433937-74-7P
TТ
    433937-75-8P 433937-76-9P 433937-77-0P
    433937-78-1P 433937-79-2P 433937-80-5P
    433937-81-6P 433937-93-0P 433937-98-5P
    433937-99-6P 433938-00-2P 433938-01-3P
    433938-02-4P 433938-03-5P 433938-04-6P
    433938-05-7P 433938-06-8P 433938-07-9P
    433938-08-0P 433938-09-1P 433938-10-4P
    433938-11-5P 433938-12-6P 433938-13-7P
    433938-14-8P 433938-15-9P 433938-16-0P
    433938-17-1P 433938-18-2P 433938-19-3P
    433938-20-6P 433938-21-7P 433938-30-8P
    433938-31-9P 433938-32-0P 433938-33-1P
    433938-35-3P 433938-36-4P 433938-37-5P
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    433938-54-6P 433938-55-7P 433938-56-8P
    433938-57-9P 433938-58-0P 433938-59-1P
    433938-60-4P 433938-61-5P 433938-62-6P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
    (Uses)
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(preparation of mandeloylazetidinecarboxamides as thrombin inhibitors)
RN 433937-72-5 HCAPLUS
CN 2-Azetidinecarboxamide. 1-[(2R)-2-[3-chloro-5-(difluoromethoxylabenyll-2-

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(cyclobutyloxy)amino]iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433937-73-6 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433937-74-7 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433937-75-8 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-,

2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-74-7

CMF C21 H21 C1 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

- RN 433937-76-9 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5- (difluoromethoxy)phenyl]hydroxyacetyl]-2- azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, cyclopentyl ester (9CI) (CA INDEX NAME)

RN 433937-77-0 HCAPLUS

Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433937-78-1 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433937-79-2 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-78-1

CMF C21 H20 C1 F3 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433937-80-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433937-81-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[mino[[(5-methyl-3-isoxazoly1)methoxy]amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433937-93-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433937-98-5 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2,2,2-trifluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433937-99-6 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2,2,2-trifluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433937-98-5 CMF C22 H22 C1 F3 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433938-00-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2,2-trifluoroethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-

[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-01-3 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-

[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-02-4 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-01-3

CMF C22 H23 C1 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CMF CZ n F3 OZ

RN 433938-03-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-04-6 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-05-7 HCAPLUS

CN 2-Azetidinecarboxamide, N=[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-04-6

CMF C21 H22 C1 F N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

- RN 433938-06-8 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(fluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-07-9 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

RN 433938-08-0 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-07-9

CMF C22 H24 C1 F N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

- RN 433938-09-1 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-10-4 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-[2-filuoro-1-(fluoromethyl)ethoxy]phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-11-5 HCAPLUS

CN 2-Azetidineoarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM :

CRN 433938-10-4

CMF C23 H25 C1 F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 433938-12-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-13-7 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-(difluoromethoxy)-5-fluorophenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

RN 433938-14-8 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-(difluoromethoxy)-5-fluorophenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-13-7 CMF C21 H21 F3 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

- RN 433938-15-9 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-(difluoromethoxy)-5-fluorophenyl]-2hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-16-0 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-17-1 HCAPLUS

CN 2-Azetidinecarboxamide, N=[{4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(fluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-16-0

CMF C21 H22 Br F N4 O4

CMF C2 H F3 O2

RN 433938-18-2 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethy1)pheny1]methy1]-1-[(2R)-2-[3-bromo-5-(difluoromethoxy)pheny1]-2-hydroxyacety1]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 433938-19-3 HCAPLUS

CN 2-Azetidinecarboxamide, N=[{4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-bromo-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433938-18-2

CMF C21 H21 Br F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

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RN 433938-20-6 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-bromo-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (28)-(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-21-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2,2-difluoroethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

RN 433938-30-8 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]-1[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA
INDEX NAME)

Absolute stereochemistry.

- RN 433938-31-9 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,6-difluorophenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433938-32-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-33-1 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,5difluorophenyl]methyl]-1-([2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-35-3 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-H-[[4-[(ethoxyamino)iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433938-36-4 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)pheny1]-2-hydroxyacety1]-N-[[4-[imino(propoxyamino)methy1]pheny1]methy1]-, (2S)-

(CA INDEX NAME)

Absolute stereochemistry.

RN 433938-37-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino[(1-methylethoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-51-3 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino[(3-pyridinylmethoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-M-[4-[mino](2-methylpropoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-53-5 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[(ethoxyamino)iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-54-6 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino](phenylmethoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

RN 433938-55-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[[(cyclohexyloxy)amino]iminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-56-8 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[4-[(cyclobutyloxy)aminojiminomethyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-57-9 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[imino[[2-[3-(trifluoromethyl)phenoxy]ethoxy]amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- RN 433938-58-0 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-[[[(4chloropheny]]methoxy] amino]iminomethyl]phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-59-1 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-{(2R)-2-{3-chloro-5-(trifluoromethoxy)phenyl}-2hydroxyacetyl]-N-{[4-[imino[[(3methoxyphenyl]methoxy]amino[methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Page 357 of 381

- RN 433938-60-4 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-[[[(2bromophenyl]methoxy]]maino]iminomethyl]phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-61-5 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[minol[(4methylphenyl]methoxy]aminol[methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-62-6 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(trifluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[4-[mino](1-propylbutoxy)amino]methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

- 433936-96-6P 433939-08-3P 433939-18-5P 433939-26-5P 433939-36-9P 433939-47-0P 433939-55-0P 433939-57-2P 433939-58-3P 433939-99-2P 433940-15-9P R1: RCI (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACI (Reactant or reagent)
- (preparation of mandeloylazetidinecarboxamides as thrombin inhibitors)
- RN 433938-43-3 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

433938-43-3P 433938-50-2P 433938-88-6P

Absolute stereochemistry.

PAGE 1-B

~c1

RN 433938-50-2 HCAPLUS

CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(trifluoromethoxy)phenyl]hydroxyacetyl]-2-

azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

RN 433938-88-6 HCAPLUS
CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2,2,2-trifluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsily)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

-c1

- RN 433938-96-6 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2,2-difluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

- RN 433939-08-3 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(fluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

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RN 43393-18-5 HCAPLUS
CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2-fluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino[methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl setter (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

- RN 433939-26-5 HCAPLUS

Absolute stereochemistry.

PAGE 1-B

-c1

- RN 433939-38-9 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-(difluoromethoxy)-5-fluorophenyl]hydroxyacety1]-2-azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,

2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

- F

RN 433939-47-0 HCAPLUS
CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-bromo-5(fluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl[carbonyl]amino[methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl setter (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

∕Br

RN 433939-55-0 HCAPLUS

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-bromo-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-,
2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

∕Br

RN 433939-57-2 HCAPLUS

CN Carbamic acid, [[4-[[[[(2S)-1-[(2R)-[3-chloro-5-(2,2-difluoroethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9C1) (CA INDEX NAME)

CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(2fluoroethoxy)phenyl]hydroxyacetyl]-2azetidinyl]carbonyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 433939-99-2 HCAPLUS
- CN Carbamic acid, [[4-[[[(2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino|methyl]-3,5-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

~c1

RN 433940-15-9 HCAPLUS

CN Carbamic acid, [[4-[[[1](2S)-1-[(2R)-[3-chloro-5-(difluoromethoxy)phenyl]hydroxyacetyl]-2-azetidinyl]carbonyl]amino|methyl]-2,5-difluorophenyl]iminomethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-c1

II 433938-22-8P 433938-34-2P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

 $(\overline{p}reparation\ of\ mandeloylazetidine carbox amides\ as\ thrombin\ inhibitors)$ RN 433938-22-8 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2-hydroxyacetyl-N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-, (28)-(CA INDEX NAME)

- RN 433938-34-2 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,5-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1369750 HCAPLUS Full-text

DOCUMENT NUMBER: 149:548920

TITLE: Combination antithrombotic therapy with a sulfonylurea compound acting as a platelet ADP receptor inhibitor

INVENTOR(S): Conley, Pamela B.; Andre, Patrick; Sinha, Uma

PATENT ASSIGNEE(S): Portola Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 81pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT	PATENT NO.				KIND DATE			APPL	ICAT		DATE				
WO 2008	137787		A2 20081113				WO 2	008-	US62	561	20080502				
WO 2008	WO 2008137787				2009	0205									
W:	AE, AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
	CA, CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
	FI, GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
	KG, KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
	PL, PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,
	TN, TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
RW:	AT, BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
	IE, IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
	TR, BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
	TG, BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
	AM, AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM,	ΑP,	EA,	EP,	OA			
US 2008	0279845		A1		2008	1113		US 2	008-	1147	06		2	0800	502
PRIORITY APP	PRIORITY APPLN. INFO.:							US 2	007-	9156	49P	1	P 2	0070	502
								US 2	007-	9159	11P	1	P 2	0070	503
								US 2	007~	9479	21P	1		0070	
								US 2	007-	9787	00P	1	P 2	0071	009

OTHER SOURCE(S): CASREACT 149:548920

ED Entered STN: 14 Nov 2008

AB The invention discloses pharmaceutical compns. and methods of using combination therapies containing [4-(6-fluoro-7-methylamino-2,4-dioxo-1,4-dihydro-2H-quinazolin-3-yl)-phenyl]-5-chloro-thiophen-2-yl-sulfonylurea

(preparation included), or a pharmaceutically acceptable salt thereof, for the treatment of thrombosis diseases.

IT 433937-93-0, AZD 0837 1079152-20-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination antithrombotic therapy with sulfonylurea compound platelet ADP receptor inhibitor)

RN 433937-93-0 HCAPLUS

CN

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 1079152-20-7 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy) phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-, mixt. with 5-chloro-N-[[[4-[6-fluoro-1, 4-dihydro-7-(methylamino)-2, 4-dioxo-3(2H)-quinazolinyl]phenyl]amino]carbonyl]-2-thiophenesulfonamide (CA INDEX NAME)

CM 1

CRN 936500-94-6

CMF C20 H15 C1 F N5 O5 S2

CM 2

CRN 433937-93-0

CMF C22 H23 C1 F2 N4 O5

L21 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1300783 HCAPLUS Full-text

DOCUMENT NUMBER: 149:534068

TITLE: Ouinoline-carboxamide derivatives as P2Y12 antagonists and their preparation, pharmaceutical compositions and

use in the treatment of cardiovascular diseases Nazare, Marc; Zech, Gernot; Just, Melitta; Weiss,

INVENTOR(S): Tilo; Hessler, Gerhard; Czech, Joerg

PATENT ASSIGNEE(S): Sanofi-Aventis, Fr. SOURCE: PCT Int. Appl., 406pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

ED GT

	PATENT NO.				KIND DATE			APPLICATION NO.							DATE			
	WO 2008128647				A1 20081030			1030	WO 2008-EP2790									
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
		CA,	CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,	
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM								
PRIOR	ITY APP	LN.	. :					EP 2007-8209					A 20070423					
OTHER	SOURCE	(S):			MAR	PAT	149:	5340	68									
ED :																		

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention relates to compds. of the formula I, which are pharmacol. active AB compds. Compds. of formula I wherein ring E is a (un)substituted 3- to 10membered heterocyclic ring; X is N and CR8; B, and O are independently a covalent bond, C2-10 alkenyl, C2-10 alkynyl, C0-4 alkylene-CH(OH)-C0-4

alkylene, etc.; J os H, (un)substituted C1-4 alkyl; C0-4 alkylene-OCH2-C1-3 fluoroalkylene-CH2O-C1-4 alkyl, etc.; R1 is H, (un)substituted C1-4 alkyl, C1-3 alkylene-CONH2 and derivs, and C1-3 alkylene-CO2H and derivs, R2, R3, R4, R5 R6 and R8 are independently H, (un)substituted C1-6 alkyl, C0-4 alkylene-OH and derivs., halo, C1-4 fluoroalkyl, etc.; Z is C0-8 alkylene., C2-10 alkenylene, C2-10 alkynylene, etc.; A is a covalent bond, C3-8 alkylene, C3-8 cycloalkylene and C3-15 heterocyclyl; V is (un)substituted (mono/bi)cyclic 3to 15-membered (amino)heterocyclic ring; M is H, C1-8 (un)substituted alkyl, CO2H and derivs., C1-8 alkylene-NH2 and derivs., CONH2 and derivs., etc.; and all stereoisomeric forms and mixts, thereof, and physiol, tolerable salts thereof, are claimed. They exhibit a strong anti-aggregating effect on platelets and thus an anti-thrombotic effect and are suitable e.g. for the therapy and prophylaxis of cardio-vascular disorders like thromboembolic diseases or restenosis. They are reversible antagonists of the platelet ADP receptor P2Y12, and can in general be applied in conditions in which an undesired activation of the platelet ADP receptor P2Y12 is present or for the cure or prevention of which an inhibition of the platelet ADP receptor P2Y12 is intended. The invention furthermore relates to processes for the preparation of compds. of the formula I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical prepns. comprising them. Example compound IIoTFA was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their P2Y12 antagonistic activity. From the assay, it was determined that compound II exhibited IC50 value of 0.18 µM.

433937-93-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(codrug; preparation of quinolinecarboxamide derivs. as P2Y12 antagonists useful in the treatment of cardiovascular disorders)

RN 433937-93-0 HCAPLUS

2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyll-N-[[4-[imino(methoxyamino)methyl]phenyl]methyll-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:1177798 HCAPLUS Full-text DOCUMENT NUMBER: 147:440330

TITLE:

Use of combination of thrombin receptor antagonists and cardiovascular agents for the treatment of cardiovascular disorders

INVENTOR(S): Veltri, Enrico P.; Greenlee, William J.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 33pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.				KIN	D	DATE		APPLICATION NO.									
	WO	2007	1176	21		A1 20071018			WO 2007-US8612					20070405				
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,
			GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,
			KN,	KΡ,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MΥ,	ΜZ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:						CZ,										
								MC,										
								GA,										
								MZ,		SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AZ,
								TJ,			^							
		2007		53				2007										
		2648						2007										
	EP	2001						2008									0070	
		R:						CZ,										
								LV,	MC,	MIT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
		2008				MK,		2008	1015			008-	1000	2		2	0001	000
		2008										008-		-		_	0081	
PRIOR						А		2000	1100			006-					0060	
FKIOK	.111	LAFE	ы.	LIVEO								006-					0060	
												006-					0060	
												006-					0060	
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												'				-		

ED Entered STN: 18 Oct 2007

AB Disclosed herein are pharmaceutical combinations comprising at least one thrombin receptor antagonist and at least one cardiovascular agent. The thrombin receptor antagonists are statins or antiarrhythmic agents and cardiovascular agents suitable for co-formulation or co-administration with the thrombin receptor antagonist include an endothelin antagonist selected from the group consisting of tezosentan, bosentan, and sitaxsentan (no data).

II 433937-93-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of combination of thrombin receptor antagonists and cardiovascular agents for treatment of cardiovascular disorders)

RN 433937-93-0 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:1150265 HCAPLUS <u>Full-text</u> DOCUMENT NUMBER: 147:433639

TITLE: Composition comprising thrombin receptor antagonist

and cardiovascular agent
INVENTOR(S): Veltri, Enrico P.; Greenlee, William J.

PATENT ASSIGNEE(S): Veitri, Enrico F.; Greeniee, Willi

SOURCE: U.S. Pat. Appl. Publ., 13pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070238674	A1	20071011	US 2007-696898	20070405
PRIORITY APPLN. INFO.:			US 2006-790469P F	20060406
			US 2006-808611P F	20060526
			US 2006-809785P F	20060531
			US 2006-839474P F	20060823
			US 2006-839484P F	20060823

- ED Entered STN: 12 Oct 2007
- AB This invention relates to pharmaceutical combinations comprising at least one thrombin receptor antagonist and at least one cardiovascular agent.

US 2007-887236P

P 20070130

- IT 433937-93-0, AZD 0837
 - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (composition comprising thrombin receptor antagonist and cardiovascular agent)
- RN 433937-93-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-N-[[4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

L21 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:802728 HCAPLUS Full-text

DOCUMENT NUMBER: 141:289066

TITLE: Use of low-molecular-weight thrombin inhibitors in

cholesterol-lowering therapy

INVENTOR(S): Grind, Margaretha PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE:

English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

									APPLICATION NO.								
										WO 2004-SE417							
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
		TD,	TG														
	2004									AU 2	004-	2224	09		2	0040	319
	2004																
	2517																
EP	1608																
	R:						ES,										
							RO,										
BR	2004	0085	22		A		2006	0307		BR 2	004-	8522			2	0040	319
CN	1761 2006	479			A		2006	0419		CN 2	004-	8000	7286		2	0040	319
JP	2006	5208	13		T		2006	0914		JP 2	006-	5079	72		2	0040	319
	2005																
	2005																
	2006				A1		2006	0817			005-						
PRIORIT	Y APP	LN.	INFO	.:							003-						
										WO 2	004-	SE41	7		A 2	0040	319
OTHER S	OURCE	(S):			MAR	PAT	141:	2890	66								

ED Entered STN: 01 Oct 2004

- AB The invention discloses the use of a low-mol.-weight thrombin inhibitor, or a pharmaceutically acceptable derivative thereof, for the manufacture of a medicament for use in cholesterol-lowering therapy and/or modification of lipid (triglyceride), lipoprotein, and apolipoprotein profiles associated with an increased risk of cardiovascular complications.
- IT 433937-74-7 433937-93-0 433938-07-9
 433938-09-1 433938-31-9 433938-32-0
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study): USES (Uses)
 - (low-mol.-weight thrombin inhibitors in cholesterol-lowering therapy)

RN 433937-74-7 HCAPLUS

CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 433937-93-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl|-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-07-9 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-1-[(2R)-2-[3-chloro-5-(2-fluoroethoxyl)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

RN 433938-09-1 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(2-fluoroethoxy)phenyl]-2hydroxyacetyl]-N-[(4-[imino(methoxyamino)methyl]phenyl]methyl]-, (28)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 433938-31-9 HCAPLUS
- CN 2-Azetidinecarboxamide, N-[[4-(aminoiminomethyl)-2,6-difluorophenyl]methyl]-1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2-hydroxyacetyl]-, (2S)- (CA INDEX NAME)

- RN 433938-32-0 HCAPLUS
- CN 2-Azetidinecarboxamide, 1-[(2R)-2-[3-chloro-5-(difluoromethoxy)phenyl]-2hydroxyacetyl]-N-[[2,6-difluoro-4-[imino(methoxyamino)methyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 16 OF 16 WPIX COPYRIGHT 2009 THOMSON REUTERS on STN

ACCESSION NUMBER: 2003-402841 [38] WPIX CROSS REFERENCE: 2002-599409; 2001-434941 DOC. NO. CPI: C2003-107107 [38]

TITLE: New N-(4-amidino-2,6-difluorobenzyl)-1-(2-(3-chloro-5-

difluoromethoxyphenyl)-2-hydroxyacetyl)-2-

azetidinecarboxamide compounds useful as thrombin

inhibitors

DERWENT CLASS: B03

INVENTOR: INGHARDT T; JOHANSSON A; SVENSSON A; ANDERS J; ARNE S;

PATENT ASSIGNEE: (ASTR-C) ASTRAZENECA AB; (INGH-I) INGHARDT T; (JOHA-I)

JOHANSSON A; (SVEN-I) SVENSSON A

COUNTRY COUNT: 100

PATENT INFO ABBR.:

PAT	TENT	NO	KIND		WEEK			MAIN	IPC
WO	2003	3018551	A1		(200338)*				
EP	1423	3362	A1	20040602	(200436)	EN			
KR	200	4029091	A	20040403	(200451)	KO			
AU	2002	2324410	A1	20030310	(200452)	EN			
BR	2002	2011847	A	20040908	(200462)	PT			
US	200	10242492	A1	20041202	(200480)	EN			
					(200506)				
					(200511)		103		
CN	1549	808	A	20041124	(200516)	zH			
MX	200	1001825	A1	20040701	(200545)	ES			
					(200560)#		76		
					(200626)				
US	7056	5907	B2	20060606	(200638)	EN			
					(200749)				
MX	2473	328	В	20070718	(200856)	ES			
ΑU	2002	2324410	B2	20080424	(200858)	EN			
					(200919)				
RU	234:	1516	C2	20081220	(200919)	RU			

APPLICATION DETAILS:

PATENT NO		API	PLICATION	DATE
WO 200301	8551 A1	WO	2002-SE1557	
AU 200232			2002-324410	
AU 200232			2002-324410	
BR 200201			2002-11847 2	
CN 154980			2002-816924	
CN 130196			2002-816924	
EP 142336			2002-759050	
NZ 531109			2002-531109	
	2 Al PCT Application			
	1847 A PCT Applicatio		2002-SE1557	
	42492 Al PCT Applicat		2002-SE1557	
	1189 A2 PCT Applicati		2002-SE1557	
	4057 W PCT Applicatio		2002-SE1557	
MX 200400	1825 A1 PCT Applicati A PCT Application	on WO	2002-SE1557	20020830
NZ 531109	A PCT Application	WO	2002-SE1557	20020830
	7 B2 PCT Application		2002-SE1557	
MX 247328	B PCT Application	WO	2002-SE1557	
JP 200550			2003-523215	
	1189 A2		2004-1189 20	
ZA 200400			2004-1083 20	
MX 200400			2004-1825 20	
MX 247328			2004-1825 20	
US 200402			2004-487805	
US 705690			2004-487805	
KR 200402			2004-702939	
	B1 PCT Application		2002-SE1557	
	6 C2 PCT Application		2002-SE1557	
RU 234151			2004-103625	
NO 326496	B1	NO	2004-813 200	140224

FILING DETAILS:

PA.	TENT NO	KIND			PATENT NO					
EP	1423362	A1	Based on		WO	2003018551	A			
AU	2002324410	A1	Based on		WO	2003018551	A			
BR	2002011847	A	Based on		WO	2003018551	A			
HU	2004001189	A2	Based on		WO	2003018551	A			
JP	2005504057	W	Based on		WO	2003018551	A			
MX	2004001825	A1	Based on		WO	2003018551	A			
NZ	531109	A	Based on		WO	2003018551	A			
US	7056907	B2	Based on		WO	2003018551	A			
MX	247328	В	Based on		WO	2003018551	A			
AU	2002324410	B2	Based on		WO	2003018551	A			
NO	326496	B1	Previous	Publ	NO	2004000813	A			
RU	2341516	C2	Based on		WO	2003018551	A			
PRIORITY	APPLN. INFO:	WO 20	01-SE2657		2001	.1130				
		SE 20	01-2921		2001	.0830				
		SE 20	01-2657		2001	.1130				
		ZA 20	04-1083		2004	10210				
		WO 20	01-SE2		2001	.1130				
AB WO	2003018551 A1	UPA	B: 200903	27						

 $\label{eq:NOVELTY-N-(4-Amidino-2,6-difluorobenzy1)-1-(2-(3-chloro-5-difluoromethoxypheny1)-2-hydroxyacety1)-2-azetidinecarboxamide compounds (I) are new.$

DETAILED DESCRIPTION - N-(4-amidino-2,6-difluorobenzy1)-1-(2-(3-chloro-5-difluoromethoxypheny1)-2-hydroxyacety1)-2-azetidinecarboxamide compounds of formula (I) and their pharmaceutically acceptable derivatives are new.

R1 = H, OR2 or COOR3;

R2 = H, 1-10C alkyl, QAr or QOAr;

Q = 1-3C alkylene optionally interrupted by O;

Ar = aryl optionally substituted by halo, Ph, Me, OMe, halophenyl, halomethyl or halomethoxy; and

R3 = 1-10C alkyl (optionally interrupted by 0), OAr or OOAr.

INDEPENDENT CLAIMS are also included for:

(1) a method for treating a condition where thrombin inhibition or anticoagulant therapy is indicated, comprising administering a compound (I); and

(2) preparation of (I).

ACTIVITY - Anticoagulant: Thrombolytic.

MECHANISM OF ACTION - Thrombin inhibitor.

In an assay comprising incubating an inhibitor solution comprising 1-((3-chloro-5-difluoromethoxy-phenyl)-hydroxy-acetyl)-azetidine-2- carboxylic acid 4-carbamimidoyl-2,6-difluoro-benzylamide (Ia) (25 microliters) with plasma for 3 minutes, adding human thrombin in buffer solution (pH 7.4, 25 microliters, 4 NIH units.ml) and measuring the clotting time, (Ia) doubled clotting time with an ICSO of 0.02 microM.

USE - (I) Are useful for treating conditions where thrombin inhibition or anticoagulant therapy is indicated, especially thrombosis and hypercoagulability in blood and tissues (all claimed).

AN.S DCR-691165

CN.S 1-[(3-Chloro-5-difluoromethoxy-phenyl)-hydroxy-acetyl]-azetidine-2carboxylic acid 4-carbamimidoyl-2,6-difluoro-benzylamide

SDCN RAA2A0

AN.S DCR-709336

CN.S 1-[(3-Chloro-5-difluoromethoxy-phenyl)-hydroxy-acetyl]-azetidine-2-carboxylic acid 2,6-difluoro-4-(N-methoxy-carbamimidoyl)-benzylamide SDCN RAACW2

AN.S DCR-709337

CN.S 1-[(3-Chloro-5-difluoromethoxy-phenyl)-hydroxy-acetyl]-azetidine-2-carboxylic acid 2,6-difluoro-4-(N-hydroxycarbamimidoyl)-benzylamide SDCN RAACK3

Search History

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              STRUCTURE UPLOADED
1.3
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L4
          150 SEA SSS FUL L2
L5
               STRUCTURE UPLOADED
L6
             8 SEA SUB=L4 SSS SAM L5
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L7
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1.8
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L9
L10
          136 SEA SPE=ON ABB=ON PLU=ON MAGNUSSON A?/AU
            9 SEA SPE=ON ABB=ON PLU=ON SIGFRIDSSON C?/AU
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L13
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               AND L7
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